

09921880

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal653sxs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock
NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4 Apr 09 ZDB will be removed from STN
NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIADB
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 9 Jun 03 New e-mail delivery for search results now available
NEWS 10 Jun 10 MEDLINE Reload
NEWS 11 Jun 10 PCTFULL has been reloaded
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
saved answer sets no longer valid
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY
NEWS 15 Jul 30 NETFIRST to be removed from STN
NEWS 16 Aug 08 CANCERLIT reload
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
now available on STN
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIADB have been reloaded
NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file
NEWS 25 Sep 16 Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985

NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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1000

result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:58:07 ON 09 OCT 2002

```
=> s kvhgslaragkvrgqtpkvakqekkkkkktgrakrrmgynrrfvnvptfgkkkgpnans/sqep
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.
```

```
=> s kvhgslaragkvrqtpkvakqekkkkkktgrakrrmqynrrfvnvptfgkkkgpnans/sqep
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.
```

| | | |
|----------------------|------------|---------|
| => FIL REGISTRY | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.63 | 0.63 |

FILE 'REGISTRY' ENTERED AT 14:59:47 ON 09 OCT 2002
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```
STRUCTURE FILE UPDATES:      7 OCT 2002  HIGHEST RN 459783-15-4
DICTIONARY FILE UPDATES:    7 OCT 2002  HIGHEST RN 459783-15-4
```

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

```
=> s kvhgslaragkvrqgtpkvakqekkkkkktgrakrrmqynrrfvnvvpftgkkkgpnans/sqep
      1 KVHGSLARAGKVRGQTPKVAKQEKKKKKTGRAKRRMQYNRRFVNVPFTGKKKGPNANS/SQEP
      9718 SQL=59
L1      1 KVHGSLARAGKVRGQTPKVAKQEKKKKKTGRAKRRMQYNRRFVNVPFTGKKKGPNANS/SQEP
      (KVHGSLARAGKVRGQTPKVAKQEKKKKKTGRAKRRMQYNRRFVNVPFTGKKKGPNANS/S
      QEP AND SQL=59)
```

$$\Rightarrow d \perp l$$

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS

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RN 150550-01-9 REGISTRY
CN Ribosomal protein S30 (rat clone pRS30-12) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Protein S30 (rat ribosome clone pRS30-12)
CN Ubiquicidine
FS PROTEIN SEQUENCE
MF C290 H500 N102 O75 S
CI MAN
SR CA
LC STN Files: CA, CAPLUS

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***
4 REFERENCES IN FILE CA (1962 TO DATE)
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 8.26 | 8.89 |

FILE 'REGISTRY' ENTERED AT 15:00:28 ON 09 OCT 2002
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STRUCTURE FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4
DICTIONARY FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> SET TERMSET E#

SET COMMAND COMPLETED

=> DEL SEL Y

=> SEL L1 1 RN

E1 THROUGH E1 ASSIGNED

=> S E1/RN

L2 1 150550-01-9/RN

08/03/01

09921880

=> SET TERMSET LOGIN

SET COMMAND COMPLETED

=> FIL CAPLUS

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.46 | 9.35 |

FILE 'CAPLUS' ENTERED AT 15:00:39 ON 09 OCT 2002
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FILE COVERS 1907 - 9 Oct 2002 VOL 137 ISS 15
FILE LAST UPDATED: 8 Oct 2002 (20021008/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> S L2

L3 4 L2

=> DIS L3 1 IBIB ABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.29 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:795125 CAPLUS
DOCUMENT NUMBER: 130:35577
TITLE: Antimicrobial peptides derived from ubiquicidine
INVENTOR(S): Nibbering, Petrus Hendricus; Hiemstra, Pieter Sicco;
Van Den Barselaar, Maria Theodora; Pauwels, Ernest
Karel Jacob; Feitsma, Rolf Ide Johannes
PATENT ASSIGNEE(S): Rijksuniversiteit Leiden, Neth.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

08/03/01

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WO 9854314      A1      19981203      WO 1998-NL311      19980529
W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
    DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
    KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
    NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
    UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW:  GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
    FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
    CM, GA, GN, ML, MR, NE, SN, TD, TG
NL 1006164      C2      19981201      NL 1997-1006164      19970529
AU 9877913      A1      19981230      AU 1998-77913      19980529
EP 1003854      A1      20000531      EP 1998-925978      19980529
R:  AT, BE, CH, DE, FR, GB, IT, LI, NL
PRIORITY APPLN. INFO.:      NL 1997-1006164      19970529
                                WO 1998-NL311      19980529
AB  The invention relates to the use of ubiquicidine or optionally modified
    peptide fragments derived therefrom for the prepn. of a drug for the
    treatment, diagnostics or prophylaxis of infections in humans and animals.
    A peptide fragment derived from ubiquicidine comprises for instance a
    preferably continuous series of at least 3, preferably at least 7-13 amino
    acids from the amino acid sequence of ubiquicidine:
    KVHGSLARAGKVRGQTPKVAKQEKKKKKKTGRAKRRMQYNRRFVNVVPTFGKKKGPNANS. Ubiquicidine
    was isolated by gel filtration and reverse phase HPLC from the cytosol
    fraction of murine RAW 264.7 macrophages activated with interferon
    .gamma.. Ubiquicidine(1-18), ubiquicidine(18-35), and ubiquicidine(29-41)
    are particularly recommended, with activities about 1 .mu.M.
    Ubiquicidine(18-36) with N-terminal and C-terminal D-Ala residues is much
    more potent in eliminating Klebsiella pneumoniae in vitro than the
    unprotected peptide. Hybrid mols. comprise for instance a cationic
    peptide with an antimicrobial action and/or a peptide fragment of
    ubiquicidine and/or a deriv. thereof and one or more effector mols.
REFERENCE COUNT:      6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```

=> DIS L311 2 IBIB ABS

L311 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> DIS L311 3 IBIB ABS

L311 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> D 13 2-4 pn py so ti au ab

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2002 ACS

PY 1997

SO European Journal of Biochemistry (1997), 246(3), 786-793
CODEN: EJBCAI; ISSN: 0014-2956

TI Post-translational processing of rat ribosomal proteins. Ubiquitous methylation of Lys22 within the zinc-finger motif of RL40 (carboxy-terminal extension protein 52) and tissue-specific methylation of Lys4 in RL29

AU Williamson, Nicholas A.; Ralieggh, Jeanette; Morrice, Nicholas A.; Wettenhall, Richard E. H.

AB The complete amino acid sequences of rat and yeast (Saccharomyces

cerevisiae) ribosomal proteins derived from precursors contg. an N-terminal ubiquitin or ubiquitin-like sequence (C-terminal extension proteins or CEPs) were detd. and investigated for any post-translational modifications by reverse-phase HPLC purifn., direct amino acid sequence and mass spectrometric analyses. Covalent modifications were detected in the rat liver proteins RS27a (CEP-80), RL29, RL37 and RL40 (CEP-52), while RS30 (CEP), RL36a, RL39 and RL41 were unmodified. Heterogeneity of RS27a was due to C-terminal truncations, with Lys80 missing from about 20% of the liver RS27a population; C-terminal processing was also detected with RL29 and RL37. No other covalent modifications of liver, brain or thymus RS27a were detected. The rat RL40 structure was identical to the cDNA-predicted sequence except for complete stoichiometric N.epsilon.-trimethylation of Lys22 within its zinc-finger motif; this modification occurred in the ribosomes of all three rat tissues investigated but not in yeast ribosomes. The methylation characteristics of RL40 were distinct from those of ribosomal protein RL29 in the rat, which was differentially monomethylated at Lys4 in the liver, brain and thymus (27%, > 99% and 95% methylation, resp.). In the case of liver, there was no appreciable difference in the RL29 methylation status of free and membrane-bound ribosomes. The possibilities of an essential role for RL40 methylation in the formation of rat ribosomes, and a distinct regulatory role for RL29 methylation in the rat, are discussed.

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS
PATENT NO. KIND DATE

PI JP 05339287 A2 19931221

PY 1993

SO Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

TI New protein having heparin binding activity of rat brain

IN Kimura, Michio; Ito, Motofumi

AB A heparin-binding protein (HBP-p7) (I) consisting of 59 amino acid residues was isolated from rat (*Rattus norvegicus*) brain by purifn. using a heparin-Sepharose column and HPLC. The purified protein I in vitro promoted the growth of fibroblast cells. It is useful as cell growth-promoting agent and for the treatment of wounds and bone diseases.

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS

PY 1993

SO Journal of Biological Chemistry (1993), 268(24), 17967-74

CODEN: JBCHA3; ISSN: 0021-9258

TI The carboxyl extension of a ubiquitin-like protein is rat ribosomal protein S30

AU Olvera, Joe; Wool, Ira G.

AB The amino acid sequence of the rat 40 S ribosomal subunit protein S30 was deduced from the sequence of nucleotides in a recombinant cDNA and confirmed by the detn. of the 18 residues at the NH2 terminus of the protein. Unlike the majority of ribosomal proteins, which are unprocessed primary products of the translation of their mRNAs, S30 is formed by cleavage from a larger hybrid protein. The NH2-terminal polypeptide has 38% identity with ubiquitin and contains the characteristic carboxyl-terminal Gly-Gly dipeptide of this family of proteins. S30 has 59 amino acids and the mol. wt. is 6,643; the ubiquitin-like sequence has 74 residues and the mol. wt. is 7,634. The hybrid protein is encoded in each of the 8-10 members of the family of rat S30 genes; there is, however, only a single species of mRNA which contains the sequences for both proteins. The coding sequence of the hybrid protein occurs in the reverse polarity in the genome of the Finkel-Biskis-Reilly murine sarcoma virus.

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=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 15.49 | 24.84 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -2.48 | -2.48 |

STN INTERNATIONAL LOGOFF AT 15:10:07 ON 09 OCT 2002

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal653sxs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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now available on STN

08/03/01

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NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04 CSA files on STN
NEWS 35 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17 TOXCENTER enhanced with additional content
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NEWS 39 Jan 13 Indexing added to some pre-1967 records in CA/CAPLUS

NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

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NEWS INTER General Internet Information
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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:05:16 ON 16 JAN 2003

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 10:05:30 ON 16 JAN 2003

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STRUCTURE FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1
DICTIONARY FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1

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TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s MQYNRR/spsp and SQL<59

'/SPSP' NOT VALID IN A SEQUENCE QUERY

The field code specified is not valid in a sequence query. For more information, enter "HELP SSQ" at an arrow prompt (=>).

=> s MQYNRR/sqsp and SQL<59

7 MQYNRR/SQSP

2528091 SQL<59

L1 7 MQYNRR/SQSP AND SQL<59

=> s tgrakrr/sqsp and SQL<59

9 TGRAKRR/SQSP

2528091 SQL<59

L2 9 TGRAKRR/SQSP AND SQL<59

=> s kvakqekkkktgrakrr/sqep and SQL<25

1 KVAKQEKKKKKTGRAKRR/SQEP

72972 SQL=18

1 KVAKQEKKKKKTGRAKRR/SQEP

(KVAKQEKKKKKTGRAKRR/SQEP AND SQL=18)

1725063 SQL<25

L3 1 KVAKQEKKKKKTGRAKRR/SQEP AND SQL<25

=> S KVHGLARAGKVRGQTPKVAQ/SQEP

1 KVHGLARAGKVRGQTPKVAQ/SQEP

50702 SQL=22

L4 1 KVHGLARAGKVRGQTPKVAQ/SQEP

(KVHGLARAGKVRGQTPKVAQ/SQEP AND SQL=22)

=> S AGKVRGQTPKVAQEKKKKKKT/SQEP

1 AGKVRGQTPKVAQEKKKKKKT/SQEP

82819 SQL=21

L5 1 AGKVRGQTPKVAQEKKKKKKT/SQEP

(AGKVRGQTPKVAQEKKKKKKT/SQEP AND SQL=21)

| => FIL BIOSIS MEDLINE CAPLUS EMBASE SCISEARCH PCTFULL USPATFULL USPAT2 | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 90.56 | 90.77 |

FILE 'BIOSIS' ENTERED AT 10:14:43 ON 16 JAN 2003
COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC. (R)

FILE 'MEDLINE' ENTERED AT 10:14:43 ON 16 JAN 2003

FILE 'CAPLUS' ENTERED AT 10:14:43 ON 16 JAN 2003
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FILE 'PCTFULL' ENTERED AT 10:14:43 ON 16 JAN 2003
COPYRIGHT (C) 2003 Univentio

FILE 'USPATFULL' ENTERED AT 10:14:43 ON 16 JAN 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:14:43 ON 16 JAN 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> S L1 OR L2
'59' NOT A VALID FIELD CODE
'59' NOT A VALID FIELD CODE
'SQSP' IS NOT A VALID FIELD CODE
'59' NOT A VALID FIELD CODE
'59' NOT A VALID FIELD CODE
'SQSP' IS NOT A VALID FIELD CODE
L6 7 L1 OR L2

=> S L3
'25' NOT A VALID FIELD CODE
'SQEP' IS NOT A VALID FIELD CODE
'25' NOT A VALID FIELD CODE
'SQEP' IS NOT A VALID FIELD CODE
L7 3 L3

=> S L4 OR L5
3 FILES SEARCHED...
'SQEP' IS NOT A VALID FIELD CODE
'SQEP' IS NOT A VALID FIELD CODE
L8 2 L4 OR L5

=> D HIST

(FILE 'HOME' ENTERED AT 10:05:16 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 10:05:30 ON 16 JAN 2003
L1 7 S MQYNRR/SQSP AND SQL<59
L2 9 S TGRAKRR/SQSP AND SQL<59
L3 1 S KVAQEKKKKKTGRAKRR/SQEP AND SQL<25
L4 1 S KVHGSLARAGKVRGQTPKVAKQ/SQEP
L5 1 S AGKVRGQTPKVAKQEKKKKKT/SQEP

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL,
USPAT2' ENTERED AT 10:14:43 ON 16 JAN 2003
L6 7 S L1 OR L2
L7 3 S L3
L8 2 S L4 OR L5

=> DUP REM L6
PROCESSING COMPLETED FOR L6
L9 7 DUP REM L6 (0 DUPLICATES REMOVED)

=> d L7 PY PN AU TI SO AB 1-6

08/03/01

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

PY 2001

AU Welling, Mick M.; Lupetti, Antonella; Balter, Henia S.; Lanzzeri, Stella; Souto, Beatriz; Rey, Ana M.; Savio, Eduardo O.; Paulusma-Annema, Akke; Pauwels, Ernest K. J.; Nibbering, Peter H.

TI ^{99m}Tc-labeled antimicrobial peptides for detection of bacterial and *Candida albicans* infections

SO Journal of Nuclear Medicine (2001), 42(5), 788-794

CODEN: JNMEAQ; ISSN: 0161-5505

AB This study compared the possibilities and limitations of ^{99m}Tc-labeled synthetic peptides derived from two human antimicrobial peptides, namely, ubiquicidin (UBI) and lactoferrin (hLF), for the scintigraphic detection of bacterial and fungal infections in mice and rabbits. The rationale of our approach was that selected peptides accumulate in infected areas but not in sterile inflammatory lesions, because they bind preferentially to microorganisms. ^{99m}Tc-labeled human neutrophil peptides (defensins), ciprofloxacin, and human polyclonal IgG were included as control agents. Methods: ^{99m}Tc-labeled peptides and control agents were injected i.v. into animals that had been injected i.m. 18 h earlier with multidrug-resistant *Staphylococcus aureus*, *Klebsiella pneumoniae*, or fluconazole-resistant *Candida albicans*. Sterile inflammatory sites were induced by the injection of heat-killed microorganisms or lipo-polysaccharide (LPS) into the thigh muscle. Up to 4 h after injection, the accumulation of ^{99m}Tc-labeled compds. in the infected/inflamed thigh muscles was detd. using scintigraphic techniques and radioactivity counts in dissected tissues. Results: Scintigraphy revealed that ^{99m}Tc-labeled peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins, which showed preferential in vitro binding to microorganisms in a former study, accumulated at a significantly higher rate ($P < 0.01$) in bacterial and *C. albicans* infections in mice and rabbits than in inflamed tissues induced by heat-killed microorganisms or by LPS. No significant difference in the accumulation of ^{99m}Tc-labeled ciprofloxacin was obsd. between infected and sterile inflamed thigh muscles in mice. Conclusion: ^{99m}Tc-labeled antimicrobial peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins accumulate significantly in tissues infected with gram-pos. and gram-neg. bacteria and *C. albicans*. Significantly lower ($P < 0.01$) accumulation of these peptides occurs in sterile inflamed tissues. These data indicate that the peptides preferentially tag microorganisms at the site of infection, which is in agreement with their preferential binding to the microorganisms in vitro and in vivo. ^{99m}Tc-labeled ciprofloxacin does not distinguish between infections and sterile inflammatory lesions, which implies that its specificity for the detection of bacterial infections is not warranted.

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

PY 2000

AU Welling, Mick M.; Paulusma-Annema, Akke; Balter, Henia S.; Pauwels, Ernest K. J.; Nibbering, Peter H.

TI Technetium-^{99m} labelled antimicrobial peptides discriminate between bacterial infections and sterile inflammations

SO European Journal of Nuclear Medicine (2000), 27(3), 292-301

CODEN: EJNMD9; ISSN: 0340-6997

AB The aim of this study was to select technetium-^{99m} labeled peptides that can discriminate between bacterial infections and sterile inflammations. For this purpose, we first assessed the binding of various ^{99m}Tc-labeled natural or synthetic peptides, which are based on the sequence of the human antimicrobial peptide ubiquicidin (UBI) or human lactoferrin (hLF), to bacteria and to leukocytes in vitro. In order to select peptides that preferentially bind to bacteria over host cells, radiolabeled peptides were injected into mice i.p. infected with *Klebsiella pneumoniae* (K.

pneumoniae) and the amt. of radioactivity assocd. with the bacteria and with the leukocytes was quantitated. The next phase focussed on discrimination between bacterial infections and sterile inflammatory processes using ^{99m}Tc -labeled peptides in mice i.m. infected with various bacteria (e.g. multi-drug-resistant *Staphylococcus aureus*) and in animals that had been injected with lipopolysaccharides (LPS) of bacterial origin to create a sterile inflammatory process. Also, we studied the distribution of ^{99m}Tc -labeled UBI 29-41 and UBI 18-35 in rabbits having an exptl. thigh muscle infection with *K. pneumoniae* and in rabbits injected with LPS. Based on the results of our in vitro and in vivo binding assays, two peptides, i.e. UBI 29-41 and UBI 18-35, were selected as possible candidates for infection imaging. The radiolabeled peptides can detect infections with both gram-pos. and gram-neg. bacteria in mice as early as 5-30 min after injection, with a target-to-non-target (T/NT) ratio between 2 and 3; max. T/NT ratios were seen within 1 h after injection. In rabbits, high T/NT ratios (>5) for ^{99m}Tc -labeled UBI 29-41 were obsd. from 1 h after injection. No accumulation of the selected ^{99m}Tc -labeled UBI-derived peptides was obsd. in thighs of mice and rabbits previously injected with LPS. Scintigraphic investigation into the biodistribution of ^{99m}Tc -labeled UBI peptides revealed that these peptides were rapidly removed from the circulation by renal excretion. Similar data were obsd. for ^{99m}Tc -labeled defensin 1-3. Our data for ^{99m}Tc -labeled hLF and related peptides indicate that these compds. are less favorable for infection detection. Taken together, ^{99m}Tc -labeled UBI 18-35 and UBI 29-41 enable discrimination between bacterial infections and sterile inflammatory processes in both mice and rabbits. Based on their characteristics, we consider these peptides the candidates of preference for detection of bacterial infections in man.

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

PY 1998
1998
1998
2000

| | PATENT NO. | KIND | DATE |
|----|------------|------|----------|
| PI | WO 9854314 | A1 | 19981203 |
| | NL 1006164 | C2 | 19981201 |
| | AU 9877913 | A1 | 19981230 |
| | EP 1003854 | A1 | 20000531 |

IN Nibbering, Petrus Hendricus; Hiemstra, Pieter Sicco; Van Den Barselaar, Maria Theodora; Pauwels, Ernest Karel Jacob; Feitsma, Rolf Ide Johannes

TI Antimicrobial peptides derived from ubiquicidine

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

AB The invention relates to the use of ubiquicidine or optionally modified peptide fragments derived therefrom for the prepn. of a drug for the treatment, diagnostics or prophylaxis of infections in humans and animals. A peptide fragment derived from ubiquicidine comprises for instance a preferably continuous series of at least 3, preferably at least 7-13 amino acids from the amino acid sequence of ubiquicidine: KVGHSLARAGKVRGQTPKVAKEKKKKKTGRAKRRMQYNRRFVNVPTFGKKKGPANNS. Ubiquicidine was isolated by gel filtration and reverse phase HPLC from the cytosol fraction of murine RAW 264.7 macrophages activated with interferon γ . Ubiquicidine(1-18), ubiquicidine(18-35), and ubiquicidine(29-41) are particularly recommended, with activities about 1 μM . Ubiquicidine(18-36) with N-terminal and C-terminal D-Ala residues is much more potent in eliminating *Klebsiella pneumoniae* in vitro than the unprotected peptide. Hybrid mols. comprise for instance a cationic peptide with an antimicrobial action and/or a peptide fragment of ubiquicidine and/or a deriv. thereof and one or more effector mols.

=> d L6 PY PN AU TI SO AB 1-7

L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 2001
2001
2002

PATENT NO. KIND DATE

| | PATENT NO. | KIND | DATE |
|----|---------------|------|----------|
| PI | WO 2001064835 | A2 | 20010907 |
| | AU 2001038347 | A5 | 20010912 |
| | US 2002121096 | A1 | 20020905 |

IN Tang, Y. Tom; Liu, Chenghua; Drmanac, Radoje T.

TI Nucleic acids and their encoded polypeptides from human tissues

SO PCT Int. Appl., 1400 pp.

CODEN: PIXXD2

AB The present invention provides a collection or library of 13,901 nucleic acid contig sequences assembled from expressed sequence tag or cDNA libraries isolated mainly by sequencing by hybridization (SBH), std. PCR, Sanger sequencing techniques, and in some cases, sequences obtained from one or more public databases. The cDNA libraries are from human tissue sources and nearest neighbor sequence homologies are provided. The invention also relates to the proteins encoded by such polynucleotides, along with therapeutic, diagnostic and research utilities for these polynucleotides and proteins. [This abstr. record is the fourth of four records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

L6 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 2001
2001
2002
2002

PATENT NO. KIND DATE

| | PATENT NO. | KIND | DATE |
|----|---------------|------|----------|
| PI | WO 2001088088 | A2 | 20011122 |
| | WO 2001088088 | A2 | 20011122 |
| | WO 2001088088 | A3 | 20021031 |
| | US 2002121096 | A1 | 20020905 |

IN Tang, Y. Tom; Liu, Chenghua; Drmanac, Radoje T.

TI Nucleic acids and their encoded polypeptides from human tissues

SO PCT Int. Appl., 831 pp.

CODEN: PIXXD2

AB The present invention provides a collection or library of 8051 nucleic acid contig sequences assembled from expressed sequence tag or cDNA libraries isolated mainly by sequencing by hybridization (SBH), std. PCR, Sanger sequencing techniques, and in some cases, sequences obtained from one or more public databases. The cDNA libraries are from human tissue sources and nearest neighbor sequence homologies are provided. The invention also relates to the proteins encoded by such polynucleotides, along with therapeutic, diagnostic and research utilities for these polynucleotides and proteins. [This abstr. record is one of four records for this document necessitated by the large no. of index entries required to fully index the document and publication system constraints.]

L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 2001

AU Welling, Mick M.; Lupetti, Antonella; Balter, Henia S.; Lanzzeri, Stella; Souto, Beatriz; Rey, Ana M.; Savio, Eduardo O.; Paulusma-Annema, Akke; Pauwels, Ernest K. J.; Nibbering, Peter H.

- TI 99mTc-labeled antimicrobial peptides for detection of bacterial and *Candida albicans* infections
SO Journal of Nuclear Medicine (2001), 42(5), 788-794
CODEN: JNMEAQ; ISSN: 0161-5505
- AB This study compared the possibilities and limitations of 99mTc-labeled synthetic peptides derived from two human antimicrobial peptides, namely, ubiquicidin (UBI) and lactoferrin (hLF), for the scintigraphic detection of bacterial and fungal infections in mice and rabbits. The rationale of our approach was that selected peptides accumulate in infected areas but not in sterile inflammatory lesions, because they bind preferentially to microorganisms. 99mTc-labeled human neutrophil peptides (defensins), ciprofloxacin, and human polyclonal IgG were included as control agents. Methods: 99mTc-labeled peptides and control agents were injected i.v. into animals that had been injected i.m. 18 h earlier with multidrug-resistant *Staphylococcus aureus*, *Klebsiella pneumoniae*, or fluconazole-resistant *Candida albicans*. Sterile inflammatory sites were induced by the injection of heat-killed microorganisms or lipo-polysaccharide (LPS) into the thigh muscle. Up to 4 h after injection, the accumulation of 99mTc-labeled compds. in the infected/inflamed thigh muscles was detd. using scintigraphic techniques and radioactivity counts in dissected tissues. Results: Scintigraphy revealed that 99mTc-labeled peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins, which showed preferential in vitro binding to microorganisms in a former study, accumulated at a significantly higher rate ($P < 0.01$) in bacterial and *C. albicans* infections in mice and rabbits than in inflamed tissues induced by heat-killed microorganisms or by LPS. No significant difference in the accumulation of 99mTc-labeled ciprofloxacin was obsd. between infected and sterile inflamed thigh muscles in mice. Conclusion: 99mTc-labeled antimicrobial peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins accumulate significantly in tissues infected with gram-pos. and gram-neg. bacteria and *C. albicans*. Significantly lower ($P < 0.01$) accumulation of these peptides occurs in sterile inflamed tissues. These data indicate that the peptides preferentially tag microorganisms at the site of infection, which is in agreement with their preferential binding to the microorganisms in vitro and in vivo. 99mTc-labeled ciprofloxacin does not distinguish between infections and sterile inflammatory lesions, which implies that its specificity for the detection of bacterial infections is not warranted.

L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 2000

AU Welling, Mick M.; Paulusma-Annema, Akke; Balter, Henia S.; Pauwels, Ernest K. J.; Nibbering, Peter H.

TI Technetium-99m labelled antimicrobial peptides discriminate between bacterial infections and sterile inflammations

SO European Journal of Nuclear Medicine (2000), 27(3), 292-301

CODEN: EJNMD9; ISSN: 0340-6997

AB The aim of this study was to select technetium-99m labeled peptides that can discriminate between bacterial infections and sterile inflammations. For this purpose, we first assessed the binding of various 99mTc-labeled natural or synthetic peptides, which are based on the sequence of the human antimicrobial peptide ubiquicidin (UBI) or human lactoferrin (hLF), to bacteria and to leukocytes in vitro. In order to select peptides that preferentially bind to bacteria over host cells, radiolabeled peptides were injected into mice i.p. infected with *Klebsiella pneumoniae* (*K. pneumoniae*) and the amt. of radioactivity assocd. with the bacteria and with the leukocytes was quantitated. The next phase focussed on discrimination between bacterial infections and sterile inflammatory processes using 99mTc-labeled peptides in mice i.m. infected with various bacteria (e.g. multi-drug-resistant *Staphylococcus aureus*) and in animals that had been injected with lipopolysaccharides (LPS) of bacterial origin

to create a sterile inflammatory process. Also, we studied the distribution of ^{99m}Tc -labeled UBI 29-41 and UBI 18-35 in rabbits having an exptl. thigh muscle infection with *K. pneumoniae* and in rabbits injected with LPS. Based on the results of our in vitro and in vivo binding assays, two peptides, i.e. UBI 29-41 and UBI 18-35, were selected as possible candidates for infection imaging. The radiolabeled peptides can detect infections with both gram-pos. and gram-neg. bacteria in mice as early as 5-30 min after injection, with a target-to-non-target (T/NT) ratio between 2 and 3; max. T/NT ratios were seen within 1 h after injection. In rabbits, high T/NT ratios (>5) for ^{99m}Tc -labeled UBI 29-41 were obsd. from 1 h after injection. No accumulation of the selected ^{99m}Tc -labeled UBI-derived peptides was obsd. in thighs of mice and rabbits previously injected with LPS. Scintigraphic investigation into the biodistribution of ^{99m}Tc -labeled UBI peptides revealed that these peptides were rapidly removed from the circulation by renal excretion. Similar data were obsd. for ^{99m}Tc -labeled defensin 1-3. Our data for ^{99m}Tc -labeled hLF and related peptides indicate that these compds. are less favorable for infection detection. Taken together, ^{99m}Tc -labeled UBI 18-35 and UBI 29-41 enable discrimination between bacterial infections and sterile inflammatory processes in both mice and rabbits. Based on their characteristics, we consider these peptides the candidates of preference for detection of bacterial infections in man.

L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 1998

1998

1998

2000

PATENT NO. KIND DATE

| | PATENT NO. | KIND | DATE |
|----|------------|------|----------|
| PI | WO 9854314 | A1 | 19981203 |
| | NL 1006164 | C2 | 19981201 |
| | AU 9877913 | A1 | 19981230 |
| | EP 1003854 | A1 | 20000531 |

IN Nibbering, Petrus Hendricus; Hiemstra, Pieter Sicco; Van Den Barselaar, Maria Theodora; Pauwels, Ernest Karel Jacob; Feitsma, Rolf Ide Johannes

TI Antimicrobial peptides derived from ubiquicidine

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

AB The invention relates to the use of ubiquicidine or optionally modified peptide fragments derived therefrom for the prepn. of a drug for the treatment, diagnostics or prophylaxis of infections in humans and animals. A peptide fragment derived from ubiquicidine comprises for instance a preferably continuous series of at least 3, preferably at least 7-13 amino acids from the amino acid sequence of ubiquicidine: KVHGSLARAGKVRGQTPKVAQEKKKKKKTGRAKRRMQYNRRFVNVVPTFGKKKGPNANS. Ubiquicidine was isolated by gel filtration and reverse phase HPLC from the cytosol fraction of murine RAW 264.7 macrophages activated with interferon γ . Ubiquicidine(1-18), ubiquicidine(18-35), and ubiquicidine(29-41) are particularly recommended, with activities about 1 μM . Ubiquicidine(18-36) with N-terminal and C-terminal D-Ala residues is much more potent in eliminating *Klebsiella pneumoniae* in vitro than the unprotected peptide. Hybrid mols. comprise for instance a cationic peptide with an antimicrobial action and/or a peptide fragment of ubiquicidine and/or a deriv. thereof and one or more effector mols.

L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 1997

AU van de Wal, Y.; Kooy, Yvonne M. C.; Drijfhout, Jan Wouter; Amons, Reinout; Papadopoulos, George K.; Koning, Frits

TI Unique peptide binding characteristics of the disease-associated

DQ(.alpha.1*0501, .beta.1*0201) vs. the non-disease-associated DQ(.alpha.1*0201, .beta.1*0202) molecule

SO Immunogenetics (1997), 46(6), 484-492

CODEN: IMNGBK; ISSN: 0093-7711

AB To understand the dominant assocn. of celiac disease (CD) with the presence of HLA-DQ(.alpha.1*0501, .beta.1*0201), the peptide binding characteristics of this mol. were compared with that of the structurally similar, but non-CD-assocd. DQ(.alpha.1*0201, .beta.1*0202) mol. First, naturally processed peptides were acid-extd. from immuno-affinity-purified DQ mols. of both types. Both mols. contained the Ii-derived CLIP sequence and a particular fragment of the major histocompatibility complex (MHC) class I .alpha. chain. Use of truncated analogs of these two peptides in cell-free peptide binding assays indicated that identical peptide frames are used for binding to the two DQ2 mols. Detailed substitution anal. of the MHC class I peptide revealed identical side chain requirements for the anchor residues at p6 and p7. At p1, p4, and p9, however, polar substitutions (such as N, Q, G, S, and T) were less well tolerated in the case of the DQ(.alpha.1*0201, .beta.1*0202) mol. The most striking difference between the two DQ mols. is the presence of an addnl. anchor residue at p3 for the DQ(.alpha.1*0201, .beta.1*0202) mol., whereas this residue was found not to be specifically involved in binding of peptides to DQ(.alpha.1*0501, .beta.1*0201). Similar results were obtained applying substitution anal. of the CLIP sequence. Mol. modeling of the DQ2 proteins complexed with the MHC class I and CLIP peptide corresponds well with the binding data. The results suggest that both CLIP and the MHC class I peptide bind DQ(.alpha.1*0501, .beta.1*0201) and DQ(.alpha.1*0201, .beta.1*0202) in a DR-like fashion, following highly similar binding criteria. This detailed characterization of unique peptide binding properties of the CD-assocd. DQ(.alpha.1*0501, .beta.1*0201) mol. should be helpful in the identification of CD-inducing epitopes.

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

PY 1996

| PATENT NO. | KIND | DATE |
|-------------|------|----------|
| JP 08176193 | A2 | 19960709 |

PI Mikoshiba, Katsuhiko

TI Synaptic long-term potentiation-inducing peptide

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

AB The invention involves a peptide having the ability to potentiate long-term synaptic transmission efficiency and the use of said peptide. A specific amino acid sequence is presented for a peptide which is able to induce synaptic long-term potentiation. The peptide is of value for study of brain functions and for diagnosis and treatment of diseases of memory impairment assocd. with senile dementia.

=> d L8 PY PN AU TI SO AB 1-7

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

PY 1996

AU Ridgway, William M.; Fasso, Marcella; Lanctot, Andrea; Garvey, Chris; Fathman, C. Garrison

TI Breaking self-tolerance in nonobese diabetic mice

SO Journal of Experimental Medicine (1996), 183(4), 1657-62

CODEN: JEMEAV; ISSN: 0022-1007

AB Unresponsiveness to self is maintained through 2 mechanisms of immune regulation: thymic neg. selection and peripheral tolerance. Although thymic-neg. selection is a major mechanism to eliminate self-reactive T

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cells, normal mice have readily detectable populations of T cells reactive to self-proteins but do not exhibit autoimmune responses. It has been postulated that autoimmune disease results from breakdown or loss of peripheral tolerance. The authors present data that demonstrate that peripheral tolerance or unresponsiveness to self can be broken in nonobese diabetic (NOD) mice. Immunization of NOD mice (but not of conventional mice) with self-peptides caused an immune response to the self-peptide with resultant autoproliiferation of peripheral lymphocytes. Autoproliiferation of self-reactive T cells in NOD mice resulted from the recognition and proliferation of the activated T cells to endogenously processed and presented self-antigen. This loss of self-tolerance demonstrated in vitro may well be the basis of NOD autoimmune disease in vivo.

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
PY 1992
AU Nelson, Christopher A.; Roof, Richard W.; McCourt, David W.; Unanue, Emil R.
TI Identification of the naturally processed form of hen egg white lysozyme bound to the murine major histocompatibility complex class II molecule I-Ak
SO Proceedings of the National Academy of Sciences of the United States of America (1992), 89(16), 7380-3
CODEN: PNASA6; ISSN: 0027-8424
AB A murine B-cell lymphoma bearing the class II major histocompatibility complex mol. I-Ak was cultured with the protein antigen hen egg white lysozyme (HEL). The I-Ak mols. were purified, and their assocd. peptides were extd. for characterization. Five HEL peptides were identified. Four contained the 10 amino acid residues HEL 52-61 (DYGILQINSR) but were heterogeneous in length and flanking residues. This core sequence is known to confer a high binding affinity for I-Ak. One addnl. peptide contained the amino acid residues HEL 48-60. These data demonstrate that the HEL epitope contg. residues 52-61 is the most abundant HEL epitope presented on the major histocompatibility complex of the antigen-presenting cells and consequently explains its immunodominance.

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NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4 Apr 09 ZDB will be removed from STN
NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUIDB
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS 9 Jun 03 New e-mail delivery for search results now available
NEWS 10 Jun 10 MEDLINE Reload
NEWS 11 Jun 10 PCTFULL has been reloaded
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
saved answer sets no longer valid
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY
NEWS 15 Jul 30 NETFIRST to be removed from STN
NEWS 16 Aug 08 CANCERLIT reload
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
now available on STN
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUIDB have been reloaded
NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file
NEWS 25 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27 Oct 21 EVENTLINE has been reloaded
NEWS 28 Oct 24 BEILSTEIN adds new search fields
NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
NEWS 32 Nov 25 More calculated properties added to REGISTRY
NEWS 33 Dec 02 TIBKAT will be removed from STN
NEWS 34 Dec 04 CSA files on STN
NEWS 35 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36 Dec 17 TOXCENTER enhanced with additional content
NEWS 37 Dec 17 Adis Clinical Trials Insight now available on STN
NEWS 38 Dec 30 ISMEC no longer available
NEWS 39 Jan 13 Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40 Jan 21 NUTRACEUT offering one free connect hour in February 2003
NEWS 41 Jan 21 PHARMAML offering one free connect hour in February 2003
NEWS 42 Jan 29 Simultaneous left and right truncation added to COMPENDEX,

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AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
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DICTIONARY FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7

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<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s KVGSLARAGKVRGQTPK/SQEP

1 KVGSLARAGKVRGQTPK/SQEP

74597 SQL=18

L1

1 KVGSLARAGKVRGQTPK/SQEP

(KVGSLARAGKVRGQTPK/SQEP AND SQL=18)

08/03/01

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=> S TGRAKRRMQYNRR/SQEP
      1 TGRAKRRMQYNRR/SQEP
      297156 SQL=13
L2      1 TGRAKRRMQYNRR/SQEP
      (TGRAKRRMQYNRR/SQEP AND SQL=13)

=> S KVAKQEKKKKKT/SQEP
      1 KVAKQEKKKKKT/SQEP
      156488 SQL=12
L3      1 KVAKQEKKKKKT/SQEP
      (KVAKQEKKKKKT/SQEP AND SQL=12)

=> S KVAKQEKKKKKTGRAKRR/SQEP
      0 KVAKQEKKKKKTGRAKRR/SQEP
      55360 SQL=19
L4      0 KVAKQEKKKKKTGRAKRR/SQEP
      (KVAKQEKKKKKTGRAKRR/SQEP AND SQL=19)

=> S TGRAKRR/SQEP
      1 TGRAKRR/SQEP
      37889 SQL=7
L5      1 TGRAKRR/SQEP
      (TGRAKRR/SQEP AND SQL=7)

=> S FVNVVPTFGKKKGPANNS/SQEP
      1 FVNVVPTFGKKKGPANNS/SQEP
      74597 SQL=18
L6      1 FVNVVPTFGKKKGPANNS/SQEP
      (FVNVVPTFGKKKGPANNS/SQEP AND SQL=18)

=> S MQYNRR/SQEP
      1 MQYNRR/SQEP
      50468 SQL=6
L7      1 MQYNRR/SQEP
      (MQYNRR/SQEP AND SQL=6)
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| | ENTRY | SESSION |
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STRUCTURE FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7
DICTIONARY FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7

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08/03/01

09921880

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

| => FIL BIOSIS MEDLINE CAPLUS EMBASE SCISEARCH PCTFULL USPATFULL USPAT2 EUROPATFULL | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.40 | 49.91 |

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=> D HIST

(FILE 'HOME' ENTERED AT 16:22:55 ON 03 FEB 2003)

FILE 'REGISTRY' ENTERED AT 16:23:02 ON 03 FEB 2003

| | |
|----|-------------------------------|
| L1 | 1 S KVGHSLARAGKVRGQTPK/SQEP |
| L2 | 1 S TGRAKRRMQYNRR/SQEP |
| L3 | 1 S KVAKQEKKKKKT/SQEP |
| L4 | 0 S KVAKQEKKKKKKKTGRAKRR/SQEP |
| L5 | 1 S TGRAKRR/SQEP |
| L6 | 1 S FVNVVPTFGKKKGPNANS/SQEP |
| L7 | 1 S MQYNRR/SQEP |

FILE 'REGISTRY' ENTERED AT 16:30:33 ON 03 FEB 2003

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL, USPAT2, EUROPATFULL' ENTERED AT 16:30:41 ON 03 FEB 2003

=> S L1

'SQEP' IS NOT A VALID FIELD CODE
'SQEP' IS NOT A VALID FIELD CODE
'SQEP' IS NOT A VALID FIELD CODE

08/03/01

09921880

L8 2 L1

=> D L2

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:N

=> S L2

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L9 3 L2

=> S L3

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L10 2 L3

=> S L5

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L11 1 L5

=> S L6

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L12 1 L6

=> S L7

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L13 1 L7

=> S L8 OR L9 OR L10 OR L11 OR L12 OR L13

L14 3 L8 OR L9 OR L10 OR L11 OR L12 OR L13

=> D L14 1-3 PY PN AU PN PI SO TI AB

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

PY 2001

AU Welling, Mick M.; Lupetti, Antonella; Balter, Henia S.; Lanzzeri, Stella;
Souto, Beatriz; Rey, Ana M.; Savio, Eduardo O.; Paulusma-Annema, Akke;
Pauwels, Ernest K. J.; Nibbering, Peter H.

SO Journal of Nuclear Medicine (2001), 42(5), 788-794
CODEN: JNMEAQ; ISSN: 0161-5505

TI 99mTc-labeled antimicrobial peptides for detection of bacterial and
Candida albicans infections

AB This study compared the possibilities and limitations of 99mTc-labeled
synthetic peptides derived from two human antimicrobial peptides, namely,
ubiquicidin (UBI) and lactoferrin (hLF), for the scintigraphic detection
of bacterial and fungal infections in mice and rabbits. The rationale of
our approach was that selected peptides accumulate in infected areas but
not in sterile inflammatory lesions, because they bind preferentially to
microorganisms. 99mTc-labeled human neutrophil peptides (defensins),
ciprofloxacin, and human polyclonal IgG were included as control agents.
Methods: 99mTc-labeled peptides and control agents were injected i.v. into
animals that had been injected i.m. 18 h earlier with multidrug-resistant

Staphylococcus aureus, *Klebsiella pneumoniae*, or fluconazole-resistant *Candida albicans*. Sterile inflammatory sites were induced by the injection of heat-killed microorganisms or lipo-polysaccharide (LPS) into the thigh muscle. Up to 4 h after injection, the accumulation of ^{99m}Tc-labeled compds. in the infected/inflamed thigh muscles was detd. using scintigraphic techniques and radioactivity counts in dissected tissues. Results: Scintigraphy revealed that ^{99m}Tc-labeled peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins, which showed preferential in vitro binding to microorganisms in a former study, accumulated at a significantly higher rate ($P < 0.01$) in bacterial and *C. albicans* infections in mice and rabbits than in inflamed tissues induced by heat-killed microorganisms or by LPS. No significant difference in the accumulation of ^{99m}Tc-labeled ciprofloxacin was obsd. between infected and sterile inflamed thigh muscles in mice. Conclusion: ^{99m}Tc-labeled antimicrobial peptides UBI 29-41, UBI 18-35, UBI 31-38, hLF 1-11, and defensins accumulate significantly in tissues infected with gram-pos. and gram-neg. bacteria and *C. albicans*. Significantly lower ($P < 0.01$) accumulation of these peptides occurs in sterile inflamed tissues. These data indicate that the peptides preferentially tag microorganisms at the site of infection, which is in agreement with their preferential binding to the microorganisms in vitro and in vivo. ^{99m}Tc-labeled ciprofloxacin does not distinguish between infections and sterile inflammatory lesions, which implies that its specificity for the detection of bacterial infections is not warranted.

- L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
 PY 2000
 AU Welling, Mick M.; Paulusma-Annema, Akke; Balter, Henia S.; Pauwels, Ernest K. J.; Nibbering, Peter H.
 SO European Journal of Nuclear Medicine (2000), 27(3), 292-301
 CODEN: EJNMD9; ISSN: 0340-6997
 TI Technetium-99m labelled antimicrobial peptides discriminate between bacterial infections and sterile inflammations
 AB The aim of this study was to select technetium-99m labeled peptides that can discriminate between bacterial infections and sterile inflammations. For this purpose, we first assessed the binding of various ^{99m}Tc-labeled natural or synthetic peptides, which are based on the sequence of the human antimicrobial peptide ubiquicidin (UBI) or human lactoferrin (hLF), to bacteria and to leukocytes in vitro. In order to select peptides that preferentially bind to bacteria over host cells, radiolabeled peptides were injected into mice i.p. infected with *Klebsiella pneumoniae* (*K. pneumoniae*) and the amt. of radioactivity assocd. with the bacteria and with the leukocytes was quantitated. The next phase focussed on discrimination between bacterial infections and sterile inflammatory processes using ^{99m}Tc-labeled peptides in mice i.m. infected with various bacteria (e.g. multi-drug-resistant *Staphylococcus aureus*) and in animals that had been injected with lipopolysaccharides (LPS) of bacterial origin to create a sterile inflammatory process. Also, we studied the distribution of ^{99m}Tc-labeled UBI 29-41 and UBI 18-35 in rabbits having an exptl. thigh muscle infection with *K. pneumoniae* and in rabbits injected with LPS. Based on the results of our in vitro and in vivo binding assays, two peptides, i.e. UBI 29-41 and UBI 18-35, were selected as possible candidates for infection imaging. The radiolabeled peptides can detect infections with both gram-pos. and gram-neg. bacteria in mice as early as 5-30 min after injection, with a target-to-non-target (T/NT) ratio between 2 and 3; max. T/NT ratios were seen within 1 h after injection. In rabbits, high T/NT ratios (>5) for ^{99m}Tc-labeled UBI 29-41 were obsd. from 1 h after injection. No accumulation of the selected ^{99m}Tc-labeled UBI-derived peptides was obsd. in thighs of mice and rabbits previously injected with LPS. Scintigraphic investigation into the

biodistribution of ^{99m}Tc-labeled UBI peptides revealed that these peptides were rapidly removed from the circulation by renal excretion. Similar data were obsd. for ^{99m}Tc-labeled defensin 1-3. Our data for ^{99m}Tc-labeled hLF and related peptides indicate that these compds. are less favorable for infection detection. Taken together, ^{99m}Tc-labeled UBI 18-35 and UBI 29-41 enable discrimination between bacterial infections and sterile inflammatory processes in both mice and rabbits. Based on their characteristics, we consider these peptides the candidates of preference for detection of bacterial infections in man.

L14 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

PY 1998

1998

1998

2000

PATENT NO. KIND DATE

| PATENT NO. | KIND | DATE |
|------------|------|----------|
| WO 9854314 | A1 | 19981203 |
| NL 1006164 | C2 | 19981201 |
| AU 9877913 | A1 | 19981230 |
| EP 1003854 | A1 | 20000531 |

IN Nibbering, Petrus Hendricus; Hiemstra, Pieter Sicco; Van Den Barselaar, Maria Theodora; Pauwels, Ernest Karel Jacob; Feitsma, Rolf Ide Johannes

PATENT NO. KIND DATE

| PATENT NO. | KIND | DATE |
|------------|------|----------|
| WO 9854314 | A1 | 19981203 |
| NL 1006164 | C2 | 19981201 |
| AU 9877913 | A1 | 19981230 |
| EP 1003854 | A1 | 20000531 |

PATENT NO. KIND DATE APPLICATION NO. DATE

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| WO 9854314 | A1 | 19981203 | WO 1998-NL311 | 19980529 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|-----------------|----------|
| NL 1006164 | C2 | 19981201 | NL 1997-1006164 | 19970529 |
| AU 9877913 | A1 | 19981230 | AU 1998-77913 | 19980529 |
| EP 1003854 | A1 | 20000531 | EP 1998-925978 | 19980529 |

R: AT, BE, CH, DE, FR, GB, IT, LI, NL

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

TI Antimicrobial peptides derived from ubiquicidine

AB The invention relates to the use of ubiquicidine or optionally modified peptide fragments derived therefrom for the prepn. of a drug for the treatment, diagnostics or prophylaxis of infections in humans and animals. A peptide fragment derived from ubiquicidine comprises for instance a preferably continuous series of at least 3, preferably at least 7-13 amino acids from the amino acid sequence of ubiquicidine: KVGSLARAGKVRGQTPKVAKEKKKKKTGRAKRRMQYNRRFVNVPVTFGKKKGPNANS. Ubiquicidine was isolated by gel filtration and reverse phase HPLC from the cytosol fraction of murine RAW 264.7 macrophages activated with interferon .gamma.. Ubiquicidine(1-18), ubiquicidine(18-35), and ubiquicidine(29-41) are particularly recommended, with activities about 1 .mu.M. Ubiquicidine(18-36) with N-terminal and C-terminal D-Ala residues is much more potent in eliminating Klebsiella pneumoniae in vitro than the

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unprotected peptide. Hybrid mols. comprise for instance a cationic peptide with an antimicrobial action and/or a peptide fragment of ubiquitidine and/or a deriv. thereof and one or more effector mols.

=> FIL REGISTRY

| | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 17.06 | 66.97 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -1.95 | -1.95 |

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=> S KVH/SQEP

0 KVH/SQEP
1183 SQL=3
L15 0 KVH/SQEP
(KVH/SQEP AND SQL=3)

=> S VHG/SQEP

0 VHG/SQEP
1183 SQL=3
L16 0 VHG/SQEP
(VHG/SQEP AND SQL=3)

=> S HGS/SQEP

0 HGS/SQEP
1183 SQL=3
L17 0 HGS/SQEP
(HGS/SQEP AND SQL=3)

=> S LAR/SQEP

0 LAR/SQEP
1183 SQL=3
L18 0 LAR/SQEP
(LAR/SQEP AND SQL=3)

08/03/01

09921880

=> S RAG/SQEP

0 RAG/SQEP
1183 SQL=3
L19 0 RAG/SQEP
(RAG/SQEP AND SQL=3)

=> S KKK/SQEP

154 KKK/SQEP
1183 SQL=3
L20 154 KKK/SQEP
(KKK/SQEP AND SQL=3)

=> S KKKKK/SQEP

87 KKKKK/SQEP
51277 SQL=5
L21 87 KKKKK/SQEP
(KKKKK/SQEP AND SQL=5)

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 46.10 | 113.07 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.95 |

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=> S L21

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08/03/01

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L22 173 L21

=> DUP REM L22

PROCESSING COMPLETED FOR L22

L23 151 DUP REM L22 (22 DUPLICATES REMOVED)

=> S L23 AND PY<=1997

2 FILES SEARCHED...

5 FILES SEARCHED...

L24 100 L23 AND PY<=1997

=> D 90-100 L24 AU TI SO PI PN PY AB

L24 ANSWER 90 OF 100 CAPLUS COPYRIGHT 2003 ACS

AU Yap, William

TI Binding of ions to oligopeptides

SO Biophysical Journal (1973), 13(11), 1160-5

CODEN: BIOJAU; ISSN: 0006-3495

PY 1973

AB The calcd. and exptl. values of the apparent pK for the .epsilon.-amino groups of oligopeptides were found to be a function of degree of polymn. That the .alpha.-amino groups of these oligomers also varied with the d.p. suggests that phys. factors other than nearest-neighbor interactions must be considered. Equations for the titrn. curves of peptides with H+ were derived. The apparent assocn. consts. were detd. as a function of the d.p. and of nearest-neighbor interactions.

L24 ANSWER 91 OF 100 CAPLUS COPYRIGHT 2003 ACS

IN Gall, David

TI Peptides as vaccine adjuvants

SO Brit., 7 pp.

CODEN: BRXXAA

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | GB 1290141 | | 19720920 | GB 1968-26115 | 19680531 <-- |

| | PATENT NO. | KIND | DATE |
|----|------------|------|----------|
| PI | GB 1290141 | | 19720920 |

PI GB 1290141 19720920 <--

PY 1972

AB Peptides of mol. wt. 6000-50,000, in which at least 50% of the amino acid residues have free amino groups, e.g. polylysine, polyornithine, showed activity as vaccine adjuvants. The peptides were esp. active when tested with diphtheria and tetanus toxoids. Thus, a soln. prepd. by dissolving poly-L-lysine (0.5 mg/ml), mol. wt. .apprx.44,000, in a diln. of diphtheria toxoid (2.5 Lf units/ml) in a borate-succinate buffer pH 7, was injected s.c. into guinea pigs. After 28 days the dose was repeated. Ten days later the mean antitoxin titer of the guinea pigs was 11.5 units/ml compared with one of <0.001 units/ml obtained from the same class of diphtheria toxoid without adjuvant. The peptides were prepd. by random polymn. of I; R is an amino acid group whose basic groups are protected by benzyloxycarbonyl. This gave nonhomogenous peptides whose av. mol. wt. depended on the polymn. conditions. Thus, 20 g N-(benzyloxycarbonyl)-L-lysine N-carboxyanhydride in dioxane with NH3 for 42 hr at 100.degree. followed by treatment in CF3CO2H with HBr gave, after dialysis, (8 g) poly-L-lysine-HBr av. mol. wt. 20,000. Peptides of precise mol. wt. were prepd. by solid phase synthesis using chloromethylated polystyrene-2% divinylbenzene resin. Thus, syntheses with PhCH2O2CNH(CH2)4CH(NHCO2CMe3)C O2H gave (Lys)n.HCl (n = 5, 10, 15, 30).

L24 ANSWER 92 OF 100 CAPLUS COPYRIGHT 2003 ACS

08/03/01

- AU Grahl-Nielsen, Otto; Tritsch, George L.
TI Synthesis of oligomeric L-lysine peptides by the solid-phase method
SO Biochemistry (1969), 8(1), 187-92
CODEN: BICHAW; ISSN: 0006-2960
PY 1969
AB The oligomeric peptides di- through deca-L-lysine were synthesized by the solid-phase method by the use of a newly developed app. The peptide chain was elongated stepwise by starting with L-lysine covalently bonded to an insol. copolymer of 98% styrene and 2% divinylbenzene. The .alpha.-amino group of lysine was protected with the tert-butoxycarbonyl group, and the .epsilon.-amino group was protected with the carbobenzoxy group. The tert-butoxycarbonyl group was selectively cleaved by N HCl in HOAc at room temp. for 30 min. After each coupling step, some peptide-resin was removed from the reaction vessel, dried, weighed, and deblocked with HBr gas in CF3CO2H. Five min. of this treatment was sufficient to remove more than 90% of the peptide from the resin. The desired peptides were contaminated with lower homologs but chromatog. on a CM-cellulose column eluted with an exponential gradient of NaCl resulted in excellent sepsns. After lyophilizing and desalting on Sephadex G-15, the peptides were obtained in pure form.
- L24 ANSWER 93 OF 100 CAPLUS COPYRIGHT 2003 ACS
AU Stulbarg, Michael; Schlossman, Stuart F.
TI Specificity of antigen-induced thymidine-2-14C incorporation into lymph node cells from sensitized animals
SO Journal of Immunology (1968), 101(4), 764-9
CODEN: JOIMA3; ISSN: 0022-1767
PY 1968
AB The immunochem. specificity of antigen-induced thymidine-14C incorporation (in vitro) into lymph node cells from guinea pigs sensitized to .alpha.-DNP(Lys)9 [the mono-N.alpha.-(2,4-dinitrophenyl) deriv. of nona-L-lysine] or .alpha.-DNP(Lys)11-15 [a mixt. of the mono-N.alpha.-(2,4-dinitrophenyl) derivs. of (Lys)11-15] was studied. The lymph node cells obtained from sensitized guinea pigs were stimulated in tissue cultures to incorporate thymidine-14C in the presence of .alpha.-DNP(Lys)8, .alpha.-DNP(Lys)9, .alpha.-DNP(Lys)10, and .alpha.-DNP(Lys)11-15. The max. stimulatory effect was obtained with .alpha.-DNP(Lys)11-15, and as the peptide chain length was reduced in size there was a corresponding redn. in the stimulatory capacity of these immunogenic peptides. .alpha.-DNP(Lys)7 occupied a transition point in that only occasional cell cultures were stimulated to incorporate thymidine. In contrast, nonimmunogenic members of the homologous series of peptides smaller than the heptamer were never stimulatory over a wide range of antigen concns. Similarly, no stimulation was obtained with .alpha.-DNP-L(Lys)4-D-Lys-L(Lys)4. The specificity of the receptor for antigen on the sensitized lymphoid cell contrasts with the previously observed capacity of anti-.alpha.-DNP(Lys)n antibody to react with DNP-contg. proteins and nonimmunogenic .alpha.-DNP-L-lysines, but parallels the specificity of the in vivo delayed or anamnestic response. These results support the speculations concerning the existence of a still undefined mol., different from antibody, which functions as the cellular receptor for antigen and regulates the proliferative and biosynthetic response of the cell.
- L24 ANSWER 94 OF 100 CAPLUS COPYRIGHT 2003 ACS
AU Latt, Samuel A.; Sober, Herbert A.
TI Protein-nucleic acid interactions. II. Oligopeptide-polyribonucleotide binding studies
SO Biochemistry (1967), 6(10), 3293-3306
CODEN: BICHAW; ISSN: 0006-2960

PY 1967

AB cf. CA 66: 128e. Equil. dialysis measurements were made at pH 7 and 4.degree. over a range of NaCl concns. of the binding of individual oligomers of the (L-lysine)_n-epsilon.-N-(dinitrophenyl)-L-lysine series (n = 3, 4, 5, 6, 7, or 8) to synthetic polynucleotides, principally poly (I + C) and poly (A + U). Evidence is presented for a 1:1 lysine:P ratio in the sol. complexes formed. Binding was stronger to poly (I + C) than to poly (A + U). Both the total binding energy and the difference between the binding energies to poly (I + C) and poly (A + U) increased linearly with oligolysine chain length. The strong inhibition of the binding by NaCl is interpreted in terms of a competition between Na⁺ and the oligolysines for the polynucleotide phosphates. A general theory of reversible colinear oligomer-polymer interactions is presented and used to ext. parameters from the binding data.

L24 ANSWER 95 OF 100 CAPLUS COPYRIGHT 2003 ACS

AU Schlossman, Stuart F.; Ben-Efraim, Shlomo; Yaron, Arie; Sober, Herbert A.
 TI Immunochemical studies on the antigenic determinants required to elicit delayed and immediate hypersensitivity reactions

SO J. Exptl. Med. (1966), 123(6), 1083-95

PY 1966

AB The injection of an antigen into an animal may induce the formation of 2 sep. immune responses: (1) the immediate response assocd. with circulating antibody, and (2) a delayed response probably representing a form of immunity unrelated to the conventional circulating antibody, the chem. determinants of which are not known. Guinea pigs were sensitized to 4 chem. defined oligo-L-lysine antigens of structure X+NHCH(CH₂CH₂CH₂CH₂NH₂.HCl)CO +n Y, contg. the following X and Y groups, resp.: (O₂N)2C₆H₃, BuNH (I); H, BuNH (II); (O₂N)2C₆H₃, OH (III); H, OH (IV). These guinea pigs were then skin tested with individual members of these homologous series, with related peptides, and with hapten-substituted proteins. The immediate skin test (Arthus) could be elicited with hapten-substituted tetramers, pentamers, and hexamers, whereas both immediate and delayed skin responses could be provoked by the octomer or nonamers. The hapten is an integral part of the determinant for both immediate and delayed skin reactivity, since poly-L-lysine was unable to elicit either immediate or delayed reactions in sensitized animals. Arthus-type skin reactions occurred only when the sensitizing and test antigens shared a common haptenic determinant contg. both a large oligo-L-lysine carrier and the same haptonic determinant. Mediation of the delayed response evidently requires a larger determinant than is necessary to elicit the immediate response. The role of high-affinity antibody as the indicator of the delayed response seems to be related to the size of the antigenic determinants required to elicit this response. The ability to elicit the delayed response paralleled the immunogenic capacity of these peptides, whereas the immediate response could be elicited by nonimmunogenic peptides. The delayed response may require the continued biosynthesis of antibody, and may be analogous to a local in vivo secondary response. 15 references.

L24 ANSWER 96 OF 100 CAPLUS COPYRIGHT 2003 ACS

AU Katchalski, E.; Levin, Y.; Neumann, H.; Riesel, E.; Sharon, N.

TI Studies on the enzymic hydrolysis of poly-.alpha.-amino acids

SO Bull. Res. Council Israel (1961), Sect. A 10, 159-71

PY 1961

AB Pepsin and rennin digest poly-L-glutamic acid (I) in the pH range 2.05.0. Triglutamic acid (II) is the major product of exhaustive hydrolysis. Diglutamic acid (III) and glutamic acid are formed in trace amts. High oligopeptides (Glu₄ to Glu₉) are formed in the initial stages of enzymic hydrolysis. Synthetic glutamyl oligopeptides (Glu₃ to Glu₈) and their

N-benzyloxycarbonyl derivs. are also hydrolyzed by pepsin, mainly to II, at a rate increasing with chain length. I at pH 4-8 and poly-L-lysine (IV) at pH 7-12 are hydrolyzed by ficin. On exhaustive hydrolysis, I yields mainly III and II, with higher oligopeptides being formed at the earlier stages. Synthetic glutamyl oligopeptides are also hydrolyzed by ficin, as well as IV, which forms Lys3, Lys4, and Lys5.. IV gives similar products on hydrolysis with papain at pH 9.2. The results show that the 5 endopeptidases studied act both on the helical and the random coil forms of the poly-.alpha.-amino acids serving as substrates. An intermediate mechanism of hydrolysis was postulated.

L24 ANSWER 97 OF 100 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.

AU DiPolo R.; Beauge L.

TI Effect of some metal-ATP complexes on Na⁺-Ca²⁺ exchange in internally dialysed squid axons.

SO Journal of Physiology, (1993) 462/- (71-86).

ISSN: 0022-3751 CODEN: JPHYA7

PY 1993

AB Na(o)⁺-dependent Ca²⁺ efflux (forward Na⁺-Ca²⁺ exchange), and in some cases the Na(i)⁺-dependent Ca²⁺ influx (reverse Na⁺-Ca²⁺ exchange) were measured in internally dialysed squid axons under membrane potential control. We tested the effect on the Na⁺-Ca²⁺ exchange of the MgATP analogue bidentate chromium adenosine-5'-triphosphate (CrATP), substrate of several kinases, and cobalt tetrammine ATP (Co(NH₃)₄ATP), a poor substrate of most kinases. CrATP completely blocked the MgATP and MgATP-.gamma.-S (ATP-.gamma.-S) stimulation of the Na(o)⁺-dependent Ca²⁺ efflux (forward exchange) and the Na(i)⁺-dependent Ca²⁺ influx (reverse exchange). The analogue only blocked the nucleotide-dependent fraction of the Na⁺-Ca²⁺ exchange without modifying any kinetic parameters of the exchange reactions. The effects of CrATP were fully reversible with a very slow time constant (t_{1/2} about 30 min). The MgATP stimulation of the Na⁺-Ca²⁺ exchange was completely saturated at 1 mM. Higher MgATP concentrations (up to 15 mM) had no additional effects. Pentalysine (internal or external), the protein kinase C inhibitor H-7 (1-(5-isoquinolinylnsulphonyl)-2-methylpiperazine) and several calmodulin inhibitors did not inhibit Na⁺-Ca²⁺ exchange either in the absence or presence of MgATP. Our results do not agree with the idea of an aminophospholipid translocase being responsible for the ATP stimulation of the Na⁺-Ca²⁺ exchange in squid axons; they suggest that this is due to the action of a kinase system.

L24 ANSWER 98 OF 100 USPATFULL

IN de Weck, Alain L., Institut fur klinische Immunologie, Bern, Switzerland 3010

Schneider, Conrad H., Bern, Switzerland

Rolli, Hans P., Bern, Switzerland

TI Lysine polymers which may be used as supports for the preparation of products of diagnosis and products obtained

PI US 4415492 19831115 <--

PI US 4415492 19831115 <--

AB The present invention relates to lysine polymers of one of the following formulae: ##STR1## in which n is a whole number from 8 to 20 and n' a whole number from 4 to 10, to their process of preparation and to their use for the preparation of products of conjugation with benzylpenicillin or any other antibiotic of the .beta.-lactam type, which serve as products of diagnosis for skin tests intended to reveal an allergy to penicillin or any other antibiotic of the .beta.-lactam type.

L24 ANSWER 99 OF 100 USPATFULL

IN Denkwalter, Robert G., Westfield, NJ, United States

Kolc, Jaroslav, Randolph Township, Morris County, NJ, United States

Lukasavage, William J., Harrison, NJ, United States

TI Preparation of lysine based macromolecular highly branched homogeneous compound

PI US 4360646 19821123 <--

PI US 4360646 19821123 <--

AB Formed from trifunctional units (M) having attached, to one of the two terminal carbon atoms of an alkylene hydrocarbon diradical, the functional group A', and having attached, to the other terminal carbon atom, a different functional group B' reactive A' to form a linkage AB; and having attached, to a third carbon of the skeleton of unit (M), the functional group A" (preferably the same as A') reactive with B' whereby a macromolecule is built up of successive layers of units (M). The process involves successive stages in the first of which, the functional groups A' are blocked and group B is blocked with a "source" unit (S); then groups A' are liberated to form Compound I. In the second stage, Compound II is formed from the starting material (such as lysine) by first blocking groups A', then converting group B' to a form reactive with A'. Then a series of growth steps links two molecules of compound II to each molecule of Compound I via reaction between activated B' groups of two Compound II molecules, and two liberated A' groups of Compound I; and the four blocked groups A' in the two newly added units are liberated to form Compound III. In stage C, the four A' groups of Compound III are reacted as before with Compound II, and the eight blocked A' groups of the resultant newly added units (M) are liberated to complete the third stage; and so on, Lysine is illustrative of suitable starting materials. The products can be used as surface modifying agents; as metal chelating agents; and as substrates for preparation of pharmaceutical dosages.

L24 ANSWER 100 OF 100 USPATFULL

IN Inouye, Ken, Kobe, Japan

Shin, Masaru, Kobe, Japan

Watanabe, Kunio, Otsu, Japan

TI Novel polypeptides having ACTH-like action

PI US 4018754 19770419 <--

PI US 4018754 19770419 <--

AB A polypeptide of the formula:

X.sub.1 --Tyr--Ser--X.sub.2 --X.sub.3 --His--Phe--Arg--Trp--Gly--Lys--
Pro--Val--Gly--(Lys).sub.n --Y

wherein X.sub.1 is .alpha.-aminoisobutyric acid, .beta.-alanine, L-serine, D-serine, glycine, D-alanine, .gamma.-aminobutyric acid or sarcosine residue; X.sub.2 is L-methionine, L-norleucine, L-isoleucine or L-norvaline residue; X.sub.3 is L-glutamic acid or L-glutamine residue; n is an integer of 5-10; and Y is --R.sub.1, ##STR1## wherein R.sub.1 is hydroxy or lower alkoxy having 1-5 carbon atoms; R.sub.2, R.sub.3, R.sub.4 and R.sub.5 are each hydrogen or lower alkyl having 1-5 carbon atoms; m is an integer of 1-10 and Y is a group bound to the carbonyl group of the C-terminal lysine residue; non-toxic acid addition salts thereof; and complexes thereof; being useful as a medicament owing to their strong adrenal-stimulating activity with protracted action and little side effects. They can be prepared by condensing the amino acids together one by one or by condensing the small peptide fragments together in a per se conventional manner.

=> D HIST

09921880

(FILE 'HOME' ENTERED AT 16:22:55 ON 03 FEB 2003)

FILE 'REGISTRY' ENTERED AT 16:23:02 ON 03 FEB 2003

L1 1 S KVGSLARAGKVRGQTPK/SQEP
L2 1 S TGRAKRRMQYNRR/SQEP
L3 1 S KVAQEKKKKKT/SQEP
L4 0 S KVAQEKKKKKTGRAKRR/SQEP
L5 1 S TGRAKRR/SQEP
L6 1 S FVNVVPTFGKKKGPANNS/SQEP
L7 1 S MQYNRR/SQEP

FILE 'REGISTRY' ENTERED AT 16:30:33 ON 03 FEB 2003

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL, USPAT2, EUROPATFULL' ENTERED AT 16:30:41 ON 03 FEB 2003

L8 2 S L1
L9 3 S L2
L10 2 S L3
L11 1 S L5
L12 1 S L6
L13 1 S L7
L14 3 S L8 OR L9 OR L10 OR L11 OR L12 OR L13

FILE 'REGISTRY' ENTERED AT 16:34:12 ON 03 FEB 2003

L15 0 S KVH/SQEP
L16 0 S VHG/SQEP
L17 0 S HGS/SQEP
L18 0 S LAR/SQEP
L19 0 S RAG/SQEP
L20 154 S KKK/SQEP
L21 87 S KKKKK/SQEP

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL, USPAT2, EUROPATFULL' ENTERED AT 16:36:59 ON 03 FEB 2003

L22 173 S L21
L23 151 DUP REM L22 (22 DUPLICATES REMOVED)
L24 100 S L23 AND PY<=1997

=> DUP REM L20

DUPLICATE IS NOT AVAILABLE IN 'REGISTRY'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

COST IN U.S. DOLLARS

| | SINCE FILE | TOTAL |
|---------------------|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 58.82 | 171.89 |

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| | SINCE FILE | TOTAL |
|---------------------|------------|---------|
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -4.56 | -6.51 |

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STRUCTURE FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7

DICTIONARY FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7

08/03/01

09921880

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

PROCESSING COMPLETED FOR L20

L25 154 DUP REM L20 (0 DUPLICATES REMOVED)

=> S L25 AND PY<=1997

L26 154 S L25

'1997' NOT A VALID FIELD CODE

0 PY<=1997

L27 0 L26 AND PY<=1997

=> FIL BIOSIS MEDLINE CAPLUS EMBASE SCISEARCH PCTFULL USPATFULL USPAT2 EUROPATFULL
COST IN U.S. DOLLARS

| | SINCE FILE | TOTAL |
|---------------------|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.40 | 172.29 |

| | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | | |
| CA SUBSCRIBER PRICE | 0.00 | -6.51 |

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08/03/01

09921880

| | | |
|--|------------|---------|
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| FULL ESTIMATED COST | ENTRY | SESSION |
| | 9.22 | 181.51 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | 0.00 | -6.51 |

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STRUCTURE FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7
DICTIONARY FILE UPDATES: 2 FEB 2003 HIGHEST RN 484639-64-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STN Note 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>
PROCESSING COMPLETED FOR L20
L28 154 DUP REM L20 (0 DUPLICATES REMOVED)

| | | |
|--|------------|---------|
| => FIL BIOSIS MEDLINE CAPLUS EMBASE SCISEARCH PCTFULL USPATFULL USPAT2 EUROPATFULL | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | ENTRY | SESSION |
| | 0.40 | 181.91 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | 0.00 | -6.51 |

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FILE 'USPAT2' ENTERED AT 16:53:53 ON 03 FEB 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'EUROPATFULL' ENTERED AT 16:53:53 ON 03 FEB 2003
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=> D HIST

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L3 1 S KVAQEKKKKKT/SQEP
L4 0 S KVAQEKKKKKTGRAKRR/SQEP
L5 1 S TGRAKRR/SQEP
L6 1 S FVNVVPTFGKKKGPANNS/SQEP
L7 1 S MQYNRR/SQEP

FILE 'REGISTRY' ENTERED AT 16:30:33 ON 03 FEB 2003

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL,
USPAT2, EUROPATFULL' ENTERED AT 16:30:41 ON 03 FEB 2003

L8 2 S L1
L9 3 S L2
L10 2 S L3
L11 1 S L5
L12 1 S L6
L13 1 S L7
L14 3 S L8 OR L9 OR L10 OR L11 OR L12 OR L13

FILE 'REGISTRY' ENTERED AT 16:34:12 ON 03 FEB 2003

L15 0 S KVH/SQEP
L16 0 S VHG/SQEP
L17 0 S HGS/SQEP
L18 0 S LAR/SQEP
L19 0 S RAG/SQEP
L20 154 S KKK/SQEP
L21 87 S KKKKK/SQEP

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL,
USPAT2, EUROPATFULL' ENTERED AT 16:36:59 ON 03 FEB 2003

L22 173 S L21
L23 151 DUP REM L22 (22 DUPLICATES REMOVED)
L24 100 S L23 AND PY<=1997

FILE 'REGISTRY' ENTERED AT 16:52:35 ON 03 FEB 2003

L25 154 DUP REM L20 (0 DUPLICATES REMOVED)
L26 154 S L25
L27 0 S L25 AND PY<=1997

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL,
USPAT2, EUROPATFULL' ENTERED AT 16:53:17 ON 03 FEB 2003

FILE 'REGISTRY' ENTERED AT 16:53:43 ON 03 FEB 2003

L28 154 DUP REM L20 (0 DUPLICATES REMOVED)

08/03/01

09921880

FILE 'BIOSIS, MEDLINE, CAPLUS, EMBASE, SCISEARCH, PCTFULL, USPATFULL,
USPAT2, EUROPATFULL' ENTERED AT 16:53:53 ON 03 FEB 2003

=> S L20

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

'SQEP' IS NOT A VALID FIELD CODE

L29 100 L20

=> S L29 AND PY<=1997

2 FILES SEARCHED...

5 FILES SEARCHED...

L30 49 L29 AND PY<=1997

=> S L30 OR 24

<-----User Break----->

SEARCH ENDED BY USER

L31 4883399 L30 OR 24

=> S L30 OR L24

L32 145 L30 OR L24

=> s l32 and (antimicrobial or bactericidal or fungicidal or microbicidal or
antibacterial or anti-bacterial or antifungal or anti-fungal or bactericide or fungicide
or antibiotic)

6 FILES SEARCHED...

L33 5 L32 AND (ANTIMICROBIAL OR BACTERICIDAL OR FUNGICIDAL OR MICROBI
CIDAL OR ANTIBACTERIAL OR ANTI-BACTERIAL OR ANTIFUNGAL OR ANTI-F
UNGAL OR BACTERICIDE OR FUNGICIDE OR ANTIBIOTIC)

=> D 1-5 L33 AU TI SO PI PN PY AB

L33 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS

AU Rao, Chang; Tam, James P.

TI Synthesis of Peptide Dendrimer

SO Journal of the American Chemical Society (1994), 116(15), 6975-6

CODEN: JACSAT; ISSN: 0002-7863

PY 1994

AB Peptide dendrimers with their characteristic branched structures represent
an emerging class of artificial proteins which function as enzymes, ion
channels, **antibiotics**, diagnostic reagents, and vaccines. A
facile and specific method is described to ligate the 1,2-aminothiol
moiety of an N-terminal cysteine of an unprotected 24-residue peptide to a
glyoxylyl scaffolding to yield a highly compact octabranched thiazolidinyl
dendrimer with a mol. wt. of 24,405. The glyoxylyl scaffolding was
derived from the periodate oxidn. of an octameric serinyl multiple antigen
peptide contg. three levels of sequentially branched lysines. The
obtained peptide dendrimer is believed to be the largest artificial
protein obtained by controlled synthesis.

L33 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

IN De Weck, Alain L.; Schneider, Conrad H.; Rolli, Hans P.

TI Polymers of lysine and their conjugation with .beta.-lactam

antibiotics for the preparation of diagnostic products

SO Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

PATENT NO. KIND DATE APPLICATION NO. DATE

08/03/01

| | | | | | |
|----|---------------------------------------|------|----------|----------------|--------------|
| PI | EP 47197 | A1 | 19820310 | EP 1981-401267 | 19810806 <-- |
| | EP 47197 | B1 | 19840314 | | |
| | R: AT, BE, CH, DE, GB, IT, LU, NL, SE | | | | |
| | FR 2489343 | A1 | 19820305 | FR 1980-18809 | 19800829 <-- |
| | FR 2489343 | B1 | 19841123 | | |
| | AT 6589 | E | 19840315 | AT 1981-401267 | 19810806 <-- |
| | US 4415492 | A | 19831115 | US 1981-292358 | 19810813 <-- |
| | PATENT NO. | KIND | DATE | | |

| | | | | | |
|----|------------|----|----------|--|-----|
| PI | EP 47197 | A1 | 19820310 | | <-- |
| | EP 47197 | B1 | 19840314 | | |
| | FR 2489343 | A1 | 19820305 | | <-- |
| | FR 2489343 | B1 | 19841123 | | |
| | AT 6589 | E | 19840315 | | <-- |
| | US 4415492 | A | 19831115 | | <-- |

PY 1982
1984
1982
1984
1984
1983

AB Lysine polymers, HLysnOH or (CH₂).alpha.(COLysn1OH)₂, where n = 8-20 and n1 = 4-10, were prep'd. and used for the prep'n. of conjugation products with K benzylpenicillin [113-98-4] or other **antibiotics** of the .beta.-lactam type for use as reagents for diagnosis of allergy to these **antibiotics**. The lysine polymers can be rapidly eliminated in the urine and have no immunogenicity. The lysine polymers were prep'd. by oligomerization of a lysine deriv. with a protected chain, e.g. (Boc)NH(CH₂)₄CH(NH₂)CO₂ tert-Bu or (Boc)NH(CH₂)₄CH(CO₂H)NH(Nps), where Boc = tert-butyloxycarbonyl and Nps = p-nitrophenyl sulfenyl. The resulting oligomers, HLysnOH, may be condensed to longer chain oligomers by using N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide-HCl as the condensation agent in the presence of 1-hydroxybenzotriazole and a hydrophobic solvent, e.g. CH₂Cl₂ or DMF-DMSO mixt. These lysine polymers might also be condensed to (CH₂)₂(COLysn1OH)₂ by treating partially protected oligomers with bifunctional compds., e.g. succinic anhydride [108-30-5].

L33 ANSWER 3 OF 5 USPATFULL

IN Rose, Keith, Geneva, Switzerland
Offord, Robin E., Croix-de-Rozon, Switzerland

TI Polyoxime compounds and their preparation

| | | | | |
|----|------------|----|----------|-----|
| PI | US 6217873 | B1 | 20010417 | |
| | WO 9425071 | | 19941110 | <-- |

| | | | | |
|----|------------|----|----------|-----|
| PI | US 6217873 | B1 | 20010417 | |
| | WO 9425071 | | 19941110 | <-- |

AB Provided by this invention are essentially homogeneous, defined compositions of matter and hetero-polyoximes of defined structure comprising a baseplate structure having a plurality of oxime bonds, wherein each oxime bond links a specifically active molecule to the baseplate. Also provided are novel baseplates having a plurality of oxime forming complementary reactive groups and novel specifically reactive molecules having an oxime forming complementary reactive group. Also provided by this invention are methods of preparing these novel compositions of matter by chemoselectively ligating via oxime bond formation a complementary orthogonal reactive group on the baseplate to a complementary reactive orthogonal group on a specifically active molecule. Methods of using these defined compositions of matter as well as pharmaceutical compositions comprising these defined compositions of matter and methods of their use are also provided by this invention.

L33 ANSWER 4 OF 5 USPATFULL

IN Tam, James P., Nashville, TN, United States
 TI Litigation of sidechain unprotected peptides via a masked glycoaldehyde ester and O,N-acyl rearrangement
 PI US 5589356 19961231 <--
 PI US 5589356 19961231 <--
 AB A method of chemical ligation of peptides that requires no side chain protecting groups and no activation of the C-.alpha. carboxyl group is presented. The method consists of three steps. In the first step, initiation, a masked glycoaldehyde ester is enzymatically or chemically coupled to the C-terminal carboxylic acid of an sidechain unprotected first peptide. In the second step, ring formation, the masked aldehyde ester of the first peptide is unmasked, and then reacted with the N-.alpha. amino acid of a second sidechain unprotected peptide to form a ring structure. In the third step, rearrangement, the O-acyl ester linkage transfers at higher pH to an N-acyl linkage on the ring to form a peptide bond.

L33 ANSWER 5 OF 5 USPATFULL

IN de Weck, Alain L., Institut fur klinische Immunologie, Bern, Switzerland 3010
 Schneider, Conrad H., Bern, Switzerland
 Rolli, Hans P., Bern, Switzerland
 TI Lysine polymers which may be used as supports for the preparation of products of diagnosis and products obtained
 PI US 4415492 19831115 <--
 PI US 4415492 19831115 <--
 AB The present invention relates to lysine polymers of one of the following formulae: ##STR1## in which n is a whole number from 8 to 20 and n' a whole number from 4 to 10, to their process of preparation and to their use for the preparation of products of conjugation with benzylpenicillin or any other **antibiotic** of the .beta.-lactam type, which serve as products of diagnosis for skin tests intended to reveal an allergy to penicillin or any other **antibiotic** of the .beta.-lactam type.

=> D 1-10 L30 AU TI SO PI PN PY AB

L30 ANSWER 1 OF 49 CAPLUS COPYRIGHT 2003 ACS

IN Tam, James P.
 TI Method for synthesis of proteins
 SO U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 490,932, abandoned.
 CODEN: USXXAM

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|--------------|
| PI | US 6310180 | B1 | 20011030 | US 1995-492411 | 19950619 |
| | US 5589356 | A | 19961231 | US 1993-81412 | 19930621 <-- |
| | PATENT NO. | KIND | DATE | | |
| PI | US 6310180 | B1 | 20011030 | | |
| | US 5589356 | A | 19961231 | | <-- |
| PY | 2001 | | | | |
| | 1996 | | | | |
| AB | A method for peptide synthesis is disclosed that requires neither protecting groups nor activation of the C-.alpha. carboxyl groups. The method comprises ligating a first mol. to a second mol. by promoting the orthogonal coupling of the mols. to each other. In an aspect of this method, an acyl-type reaction occurs between the mols. The method contemplates the joining of mols. of variant size to each other, as well as the coupling of multiple identical mols. The invention also covers the | | | | |

ligation of unprotected peptide, proteins or nonpeptide segments to prep. therapeutic products and synthetic vaccines with linear, circularized, or branched backbone structures, as well as the site-specific modification of peptides or proteins by lipidation and PEGylation. The synthesis of pentadecapeptide I (Q = Thr-Phe-Asp-Leu-Lys-NH₂) is an example of the domain ligation method of the present invention in which the thiazole ring is formed by treating alanyl nonapeptide disulfide dimethoxyethyl ester with TFA and H-Cys-Q and adjusting to pH 5.

L30 ANSWER 2 OF 49 CAPLUS COPYRIGHT 2003 ACS
 IN Dean, Richard T.; Lister-James, John
 TI Labeled somatostatin analogs for imaging cardiovascular disease
 SO U.S., 6 pp., Cont.-in-part of U.S. Ser. No. 253,973.

CODEN: USXXAM

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|--------------|
| PI | US 5976496 | A | 19991102 | US 1997-976995 | 19971124 |
| | CA 2191951 | AA | 19951214 | CA 1995-2191951 | 19950601 <-- |
| | CN 1158090 | A | 19970827 | CN 1995-194356 | 19950601 <-- |
| | CN 1093424 | B | 20021030 | | |
| | ZA 9504548 | A | 19960315 | ZA 1995-4548 | 19950602 <-- |
| | PATENT NO. | KIND | DATE | | |
| PI | US 5976496 | A | 19991102 | | |
| | CA 2191951 | AA | 19951214 | | <-- |
| | CN 1158090 | A | 19970827 | | <-- |
| | CN 1093424 | B | 20021030 | | |
| | ZA 9504548 | A | 19960315 | | <-- |

PY 1999
 1995
 1997
 2002
 1996

AB The invention provides methods and kits for detecting cardiovascular disease in a living mammal, using a labeled form of a somatostatin analog. Suitable labels are ¹²³I, ⁶⁷Ga, ¹¹¹In and ^{99m}Tc. The methods and kits of the invention provide early detection of atherosclerotic plaque, in particular, unstable atherosclerotic plaque, thus allowing therapeutic intervention prior to acute and potentially fatal incidents of cardiovascular disease. Thus, localization and in-vivo imaging of atherosclerotic plaques was carried out in hypercholesteremic rabbits using Tc-99m-labeled somatostatin analogs.

L30 ANSWER 3 OF 49 CAPLUS COPYRIGHT 2003 ACS
 AU Page, Daniel; Roy, Rene
 TI Glycodendrimers as novel biochromatography adsorbents
 SO International Journal of Bio-Chromatography (1997), 3(3), 231-244

CODEN: IJOBEQ; ISSN: 1068-0659

PY 1997

AB Synthetic multivalent glycoconjugates ending with mannopyranoside residues were evaluated as ligands for the phytohemagglutinins from Con A (Con A) and Pisum sativum using enzyme-linked lectin assays (ELLA) and turbidimetric analyses. The relative affinity of the neoglycoconjugates, together with few ref. monosaccharides, were detd. by solid-phase inhibition assays using yeast mannan as coating antigen and peroxidase-labeled lectins. The ability of these ligands to selectively ppt. a mannose-binding protein (Con A) from a crude mixt. was also demonstrated using PAGE (SDS-PAGE). These multivalent glycoconjugates (glycondendrimers) were shown to constitute novel biochromatog. materials

of high affinity for the isolation of carbohydrate-binding proteins.

- L30 ANSWER 4 OF 49 CAPLUS COPYRIGHT 2003 ACS
 AU Chillemi, Francesco; Francescato, Pierangelo; Bossa, Rosaria; Fraccari, Alessandra; Galatulas, Iraklis
 TI Enhancement of cytotoxic activity by synthesis of peptide multimeric forms
 SO Anticancer Research (1997), 17(5A), 3609-3611
 CODEN: ANTRD4; ISSN: 0250-7005
 PY 1997
 AB Synthesis of four multimeric H-Lys-His-His-Arg-Lys-Lys-His-Arg-Lys-Arg-Lys-His-His-Lys-Arg-Lys-OH peptides contg. two, four, eight and sixteen branches was carried out by solid phase utilizing a lysine core matrix. These multimeric peptides enhanced activity by inhibiting the colony-forming ability of HeLa cells, from twenty-four to fifty-six times in comparison with the monomeric form. Unexpectedly the peptide with only two-branched sequences showed the highest inhibitory activity.
- L30 ANSWER 5 OF 49 CAPLUS COPYRIGHT 2003 ACS
 AU Roy, Rene; Park, William, K. C.; Zanini, Diana; Foxall, Carol; Srivastava, Om P.
 TI Dendritic 3'-sulfo-Lewisx-(Glc) as potent L- and E-selectin antagonists
 SO Carbohydrate Letters (1997), 2(4), 259-266
 CODEN: CLETEC; ISSN: 1073-5070
 PY 1997
 AB The prepn. and the relative selectin binding properties of a family of 3'-sulfo-Lex-(Glc) dendrimers are reported. 8-Methoxycarbonyloctyl glycoside of the sialyl Lewisx mimetic, 3'-sulfo-Lewisx-(Glc), was transformed into a thiol-ending deriv. Inhibition of sialyl Lewisx glycolipid to L- and E- selectins using chimeric Ig fusion proteins was performed. Di-, tri-, tetra-, and octa-valent dendrimers exhibited IC50's of 300, 150, 70, and 20 .mu.M for E-selectin and 10, 5, 2, and 1 mM for L-selectin resp.
- L30 ANSWER 6 OF 49 CAPLUS COPYRIGHT 2003 ACS
 AU Jezek, Jan; Velek, Jiri; Trnka, Tomas; Pisacka, Martin
 TI Solid phase synthesis of Tn antigens in both free and immobilized form
 SO Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 4th, Edinburgh, Sept. 12-16, 1995 (1996), Meeting Date 1995, 427-428.
 Editor(s): Epton, Roger. Publisher: Mayflower Scientific, Birmingham, UK.
 CODEN: 64ONA9
 PY 1996
 AB A symposium report. MAPAc-[(Tn)2-.gamma.-Abu]8-Lys4-Lys2-Lys-.beta.-Ala-NH2 and Ac-[(Tn)2-.gamma.-Abu]8-(Lys-.gamma.-Abu)4-(Lys-.gamma.-Abu)2-Lys-.beta.-Ala-NH2, both in free form and immobilized on solid supports, were prepd. by SPPS. These compds. were used in immunization expts. in animals and for quantification of anti-Tn antibodies in immunized animals and also in normal and pathol. situations in man. Furthermore, the utility of synthetic immobilized antigens for affinity purifn. of antibodies was tested.
- L30 ANSWER 7 OF 49 CAPLUS COPYRIGHT 2003 ACS
 AU Baleux, Francois; Dubois, Philippe; Jouine, Helene
 TI A new versatile carrier derived from MAP for immunological studies
 SO Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemical Diversity, Collected Papers, International Symposium, 4th, Edinburgh, Sept. 12-16, 1995 (1996), Meeting Date 1995, 313-316.
 Editor(s): Epton, Roger. Publisher: Mayflower Scientific, Birmingham, UK.

CODEN: 64ONA9

PY 1996

AB A novel version of Multiple Antigenic Peptide (MAP) is described. This approach consists of the synthesis of a properly functionalized antigen carrier and the incorporation, on request, of one or more activated antigenic peptides. This method was used to synthesize mono and di-epitopes MAP contg. a B cell epitope of PF72/HSP70-1 and the Th epitope CS-T3 of the circumsporozoite protein of Plasmodium falciparum. Mice of two different MHC haplotypes (H-2d, H-2k) were immunized with the various MAP constructs. Immunization results show a modification in the genetic restriction in the humoral response against the peptide of the PF72/HSP70-1 antigen.

L30 ANSWER 8 OF 49 CAPLUS COPYRIGHT 2003 ACS

AU Callebaut, Christian; Jacotot, Etienne; Krust, Bernard; Guichard, Gilles; Blanco, Julia; Valenzuela, Agustin; Svab, Josette; Muller, Sylviane; Briand, Jean-Paul; Hovanessian, Ara G.

TI Pseudopeptide TASP inhibitors of HIV entry bind specifically to a 95-kDa cell surface protein

SO Journal of Biological Chemistry (1997), 272(11), 7159-7166

CODEN: JBCHA3; ISSN: 0021-9258

PY 1997

AB The template assembled synthetic peptide constructs (TASP), pentavalently presenting the tripeptide KPR or RPK, are potent and specific inhibitors of human immunodeficiency virus (HIV) infection by preventing viral entry into permissive cells. Here the 5[K.PSI.(CH2N)PR]-TASP construct, .PSI.(CH2N) for reduced peptide bond, was used in studies to demonstrate its specific binding to a 95-kDa cell surface protein ligand. Compared to its nonreduced 5[KPR]-TASP counterpart, the pseudopeptide 5[K.PSI.(CH2N)PR]-TASP manifested higher affinity to bind to its cell surface ligand, increased activity to inhibit HIV infection, and resistance to degradn. when incubated in serum from an HIV-1 seropos. individual. In ligand blotting expts., the biotin-labeled 5[K.PSI.(CH2N)PR]-TASP identified a single 95-kDa protein in crude cell exts. This 95-kDa protein (p95) is expressed on the cell surface since surface iodination of cells resulted in its labeling, and moreover, following incubation of cells with the biotin-labeled 5[K.PSI.(CH2N)PR]-TASP, the p95.cntdot.TASP complex was recovered by affinity chromatog. using avidin-agarose. All anti-HIV TASP constructs but not their control derivs. affected the binding of biotin-labeled 5[K.PSI.(CH2N)PR]-TASP to p95, thus emphasizing the specific nature of this binding. Since 5[K.PSI.(CH2N)PR]-TASP does not interact with HIV-envelope glycoproteins, our results suggest that TASP inhibitors mediate directly or indirectly a block in HIV-mediated membrane fusion process by binding to the cell surface expressed p95.

L30 ANSWER 9 OF 49 CAPLUS COPYRIGHT 2003 ACS

AU Zanini, Diana; Roy, Rene

TI Chemoenzymic Synthesis and Lectin Binding Properties of Dendritic N-Acetyllactosamine

SO Bioconjugate Chemistry (1997), 8(2), 187-192

CODEN: BCCHE3; ISSN: 1043-1802

PY 1997

AB Proof that multivalency amplifies individual carbohydrate-protein interactions is growing. N-Acetylglucosamine (GlcNAc)-based dendrimers with valencies of two (9), four (10), and eight (11) were prepd. in fair to excellent yields (65-99%) on the basis of the rational scaffolding of L-lysine on solid phase using established Fmoc and HOBt chem. These GlcNAc dendrimers were then further transformed enzymically (79-90% yields) into dendritic N-acetyllactosamine (LacNAc) derivs. [di- (12),

tetra- (13), and octavalent (14)] using UDP-glucose, UDP-glucose 4'-epimerase, and GlcNAc .beta.-1,4-galactosyltransferase. GlcNAc and LacNAc dendrimers were used to inhibit lectin-porcine stomach mucin interactions. Wheat germ agglutinin and Erythrina cristagalli lectin were used for GlcNAc and LacNAc dendrimers, resp. Di-, tetra-, and octavalent GlcNAc dendrimers exhibited IC50s of 3100, 509, and 88 .mu.M, resp. (6200, 2040, and 703 .mu.M, resp., with respect to monomeric GlcNAc content). IC50s for the LacNAc series were 341, 143, and 86 .mu.M, resp. (682, 574, and 692 .mu.M, resp., as compared with monomeric LacNAc content). These data represent more than 20-fold increases in inhibitory potential for dendritic GlcNAc as compared to that for monomeric GlcNAc. Studies with E. cristagalli do not reveal significant increased inhibitory potential with multivalency.

L30 ANSWER 10 OF 49 CAPLUS COPYRIGHT 2003 ACS

IN Krause, Werner; Maier, Franz-Karl; Schmitt-Willich, Heribert; Platzek, Johannes; Press, Wolf-Ruediger; Schuhmann-Giampieri, Gabriele
 TI Preparation of peptide dendrimers
 SO Ger. Offen., 49 pp.

CODEN: GWXXBX

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|------------------|--------------|
| PI | DE 19521945 | A1 | 19961219 | DE 1995-19521945 | 19950612 <-- |
| | WO 9641830 | A1 | 19961227 | WO 1996-EP2517 | 19960611 <-- |
| | W: CA, JP, NO, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | EP 832150 | A1 | 19980401 | EP 1996-921966 | 19960611 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |

| | PATENT NO. | KIND | DATE | |
|----|-------------|------|----------|-----|
| PI | DE 19521945 | A1 | 19961219 | <-- |
| | WO 9641830 | A1 | 19961227 | <-- |
| | EP 832150 | A1 | 19980401 | |

PY 1996
 1996
 1998

AB Iodoarene-contg. dendrimers A-{X-[Y-[Z-(W-Dw)z]y]x}a [A = nitrogen-contg. cascade nucleus, X, Y = bond or cascade unit, Z, W = cascade unit, D = T-B, where B = C6I3R1R2-2,4,6,3,5 (R1, R2 = H, carbamoyl, carboxamido), T = CO, CS, CONH, CSNH, etc., a = 2-12, x, y, z = 1-4, w = 1-8, such that 16 .ltoreq. a.x.y.z.w .ltoreq. 128] were prepd. for use as contrast media. Thus, a fully-protected benzyloxycarbonyl-32-polyamine was prepd. from N,N',N'',N'''-tetrakis{8-(benzyloxycarbonylamino)-6-[2-(benzyloxycarbonylamino)ethyl]-5-oxo-3-oxaocctanoyl}cyclen and N.alpha.,N.epsilon.-bis(lysyl)lysine. Deprotection with HBr/AcOH and reaction with N-(2,3-diacetoxypentyl)-5-[4-(isopropoxycarbonyl)-3-oxabutyrylamino]-2,4,6-triiodoisophthalic amide chloride and then diglycolic anhydride afforded a dendrimer, which was superior to lipromide as contrast agent in rat blood.

=>

=> D 11-20 L30 AU TI SO PI PN PY AB

L30 ANSWER 11 OF 49 CAPLUS COPYRIGHT 2003 ACS

IN Coy, David H.; Woltering, Eugene A.; O'Dorisio, M. Sue; O'Dorisio, Thomas M.; Murphy, William A.

TI Multi-tyrosinated somatostatin analogs, preparation thereof, and diagnostic and therapeutic use

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|--|------|----------|-----------------|--------------|
| PI | WO 9639161 | A1 | 19961212 | WO 1996-US8437 | 19960603 <-- |
| | W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | | |
| | US 5597894 | A | 19970128 | US 1995-462223 | 19950605 <-- |
| | CA 2222962 | AA | 19961212 | CA 1996-2222962 | 19960603 <-- |
| | AU 9660317 | A1 | 19961224 | AU 1996-60317 | 19960603 <-- |
| | AU 709506 | B2 | 19990902 | | |
| | EP 833646 | A1 | 19980408 | EP 1996-917939 | 19960603 |
| | EP 833646 | B1 | 19991201 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, SE, PT, IE | | | | |
| | JP 11507622 | T2 | 19990706 | JP 1996-501040 | 19960603 |
| | AT 187075 | E | 19991215 | AT 1996-917939 | 19960603 |
| | ES 2140858 | T3 | 20000301 | ES 1996-917939 | 19960603 |
| | PATENT NO. | KIND | DATE | | |
| PI | WO 9639161 | A1 | 19961212 | | <-- |
| | US 5597894 | A | 19970128 | | <-- |
| | CA 2222962 | AA | 19961212 | | <-- |
| | AU 9660317 | A1 | 19961224 | | <-- |
| | AU 709506 | B2 | 19990902 | | |
| | EP 833646 | A1 | 19980408 | | |
| | EP 833646 | B1 | 19991201 | | |
| | JP 11507622 | T2 | 19990706 | | |
| | AT 187075 | E | 19991215 | | |
| | ES 2140858 | T3 | 20000301 | | |
| PY | 1996 | | | | |
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| | 2000 | | | | |
| AB | Disclosed are methods and compns. for the diagnosis and treatment of diseases assocd. with aberrant expression of a somatostatin receptor (e.g., cancer) or with increased prodn. of a factor regulatable by somatostatin (e.g., acromegaly). The compds. of the invention are of the general formulas (Y)n+1P, (Y)n-Ala-Y-P, or (YqXq-1)(YsXs-1)XP [P = somatostatin peptide analog binding to somatostatin receptor; Y = D-tyrosine, L-tyrosine, desaminotyrosine; n, q, s = 1-32 (q and s can be same or different); X = D-NH2-CH(CH2)mNH2-CO2H, L-NH2-CH(CH2)mNH2-CO2H (m = 1-10)]. Prepn. and radioiodination of somatostatin analog peptides of the invention are described, as are receptor binding assays and use in in vivo diagnosis and therapy of a tumor patient. | | | | |
| L30 | ANSWER 12 OF 49 CAPLUS COPYRIGHT 2003 ACS | | | | |
| IN | Krause, Werner; Nitecki, Danute; Maier, Franz; Schumann-Giampieri, Gabriele; Press, Wolf-ruediger; Muschick, Peter; Biancalana, Sara | | | | |
| TI | Preparation of iodine-containing dendritic peptides and their use as X-ray contrast media | | | | |

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|--------------|
| PI | WO 9640760 | A2 | 19961219 | WO 1996-EP2450 | 19960606 <-- |
| | WO 9640760 | A3 | 19970206 | | |
| | W: CA, JP, NO | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | US 5756066 | A | 19980526 | US 1995-487096 | 19950607 |
| | CA 2223924 | AA | 19961219 | CA 1996-2223924 | 19960606 <-- |
| | EP 835259 | A1 | 19980415 | EP 1996-921933 | 19960606 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |

| | PATENT NO. | KIND | DATE | |
|----|------------|------|----------|-----|
| PI | WO 9640760 | A2 | 19961219 | <-- |
| | WO 9640760 | A3 | 19970206 | |
| | US 5756066 | A | 19980526 | |
| | CA 2223924 | AA | 19961219 | <-- |
| | EP 835259 | A1 | 19980415 | |

PY 1996
1997
1998
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1998

AB Iodine-contg. dendritic peptides I [R1 = OH, NR25R26; R2 = peptide dendrimer; R3 = H, OH, Ph, straight chain or branched, optionally substituted C1-6 alkyl; R4 = H, optionally substituted C1-4 alkyl, C1-8 acyl; R3CH(CH2)qNR4 = 5- or 6-membered ring; R25, R26 = independently C1-20 alkyl optionally interrupted by one or more nitrogen or oxygen atoms; m = 0-6; p = 0-200; q = 0-6; wherein at least 10 iodinated benzene radicals are present], agents contg. these compds., the use of compds. as contrast media as well as processes for their prodn. are described. Thus, coupling of dendritic lysine oligomer II (R = H), (prepn. given) with iodinated benzoyl chloride Q-Cl (prepn. given) gave 91% functionalized dendrimer II (R = Q) contg. 48 iodinated benzoyl radicals. Iodinated dendrimer II (R = Q) remains in the blood space much longer than std. contrast agent Ultravist. Despite the high mol. wt., dendrimer II (R = Q) shows complete elimination from the body, as only 0.36% remained in a test rat after 14 days.

L30 ANSWER 13 OF 49 CAPLUS COPYRIGHT 2003 ACS

AU Page, Daniel; Zanini, Diana; Roy, Rene

TI Macromolecular recognition: effect of multivalency in the inhibition of binding of yeast mannan to concanavalin A and pea lectins by mannosylated dendrimers

SO Bioorganic & Medicinal Chemistry (1996), 4(11), 1949-1961

CODEN: BMECEP; ISSN: 0968-0896

PY 1996

AB The synthesis and binding properties of a new family of high affinity .alpha.-D-mannopyranoside ligands are described. The synthesis of the new multivalent ligands is based on the scaffolding of multiantennary branches of L-lysine residues having electrophilic N-chloroacetylated end groups as core structures. An .alpha.-D-mannopyranoside with p-substituted aryl aglycon ending with a thiol group was prepd. and covalently attached to each of the branches of the dendritic structures. The resulting glycodendrimers with 2, 4, 8, and 16 mannoside residues were tested for their relative inhibitory potency by solid-phase enzyme-linked lectin assays (ELLA) using Me and p-nitrophenyl .alpha.-D-mannopyranosides as stds. Concns. necessary for 50% inhibition (IC50's) of binding of yeast

mannan to Jack bean phytohemagglutinin (*Canavalia ensiformis*, Con A) and to pea lectin (*Pisum sativum*) were detd. Analogous mannosylated copolyacrylamides were also prepd. for comparison. The IC₅₀ values were also plotted as a function of dendrimer valences. The inhibitions showed that the 16-mer was approx. 600- and 2000-fold more potent than Me .alpha.-D-mannopyranoside, and 66- and 1383-fold more potent than p-nitrophenyl .alpha.-D-mannopyranosides with Con A and pea lectins, resp. Even when these nos. are expressed relative to single mannopyranoside residues per dendrimers, the relative potencies against the arom. mannoside are still 4- and 86-fold better against Con A and pea lectins. These results unequivocally indicate that the optimum inhibitory binding properties of the new mannosylated dendrimers vary with both dendrimer and lectin valences.

L30 ANSWER 14 OF 49 CAPLUS COPYRIGHT 2003 ACS

AU Rose, Keith; Zeng, Weiguang; Regamey, Pierre-Olivier; Chernushevich, Igor V.; Standing, Kenneth G.; Gaertner, Hubert F.

TI Natural Peptides as Building Blocks for the Synthesis of Large Protein-like Molecules with Hydrazone and Oxime Linkages

SO Bioconjugate Chemistry (1996), 7(5), 552-556

CODEN: BCCHE; ISSN: 1043-1802

PY 1996

AB Methods are known for the prodn. of synthetic protein-like mols. of nonlinear architecture with mol. masses in the 10-20 kDa range. To synthesize such compds. of higher mol. mass and complexity, chemoselective ligation of natural (as opposed to synthetic) peptide building blocks was studied. In preliminary expts. with model peptides, conditions for the formation of peptide oximes were investigated, and their stability at alk. pH was examd., to resolve a literature controversy. It was found that low pH (down to 2.1) was suitable for polyoxime formation and that the oxime bond was stable for up to 65 h at pH 8 and for more than 2 h at pH 9. Then, using natural peptides, it was found to be possible to synthesize, and characterize by mass spectrometry, nine-component species with mol. masses >48 kDa. This is about twice the size of homogeneous artificial proteins previously described. Such complex mols. of defined structure are beginning to find applications as vaccine candidates, as radioimmunodiagnostic agents, and as nonviral gene therapy delivery vehicles.

L30 ANSWER 15 OF 49 CAPLUS COPYRIGHT 2003 ACS

IN Dea, Richard T.; McBride, William; Lister-James, John

TI Cyclic hexapeptide somatostatin analogs for radiodiagnosis and radiotherapy

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 9604308 | A1 | 19960215 | WO 1995-US9276 | 19950720 <-- |
| W: AU, BR, CA, CN, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5932189 | A | 19990803 | US 1994-282980 | 19940729 |
| CA 2195395 | AA | 19960215 | CA 1995-2195395 | 19950720 <-- |
| AU 9531984 | A1 | 19960304 | AU 1995-31984 | 19950720 <-- |
| AU 702917 | B2 | 19990311 | | |
| EP 775160 | A1 | 19970528 | EP 1995-928109 | 19950720 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1161698 | A | 19971008 | CN 1995-194920 | 19950720 <-- |
| BR 9508467 | A | 19971223 | BR 1995-8467 | 19950720 <-- |
| JP 10506880 | T2 | 19980707 | JP 1995-506575 | 19950720 |
| JP 3117218 | B2 | 20001211 | JP 1996-506575 | 19950720 |

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|-----|---|------|----------|----------------|--------------|
| | ZA 9506254 | A | 19960313 | ZA 1995-6254 | 19950727 <-- |
| | US 5955426 | A | 19990921 | US 1997-776160 | 19970630 |
| | PATENT NO. | KIND | DATE | | |
| PI | WO 9604308 | A1 | 19960215 | | <-- |
| | US 5932189 | A | 19990803 | | |
| | CA 2195395 | AA | 19960215 | | <-- |
| | AU 9531984 | A1 | 19960304 | | <-- |
| | AU 702917 | B2 | 19990311 | | |
| | EP 775160 | A1 | 19970528 | | <-- |
| | CN 1161698 | A | 19971008 | | <-- |
| | BR 9508467 | A | 19971223 | | <-- |
| | JP 10506880 | T2 | 19980707 | | |
| | JP 3117218 | B2 | 20001211 | | |
| | ZA 9506254 | A | 19960313 | | <-- |
| | US 5955426 | A | 19990921 | | |
| PY | 1996 | | | | |
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| | 1999 | | | | |
| AB | The invention relates to therapeutic reagents and peptides, including radiotherapeutic reagents and peptides, and radiodiagnostic reagents and peptides. Specifically, the invention relates to cyclic peptide derivs. and analogs of somatostatin, and embodiments of such peptides radiolabeled with a radioisotope, as well as methods for using such peptides for radiodiagnostic and radiotherapeutic purposes. Receptor-binding data are included. Localization and in vivo imaging of somatostatin receptor-expressing tumors in rats are described (no data). | | | | |
| L30 | ANSWER 16 OF 49 CAPLUS COPYRIGHT 2003 ACS | | | | |
| AU | Qualmann, Britta; Kessels, Michael Manfred; Musiol, Hans-Juergen; Sierralta, Walter Daniel; Jungblut, Peter Wilhelm; Moroder, Luis | | | | |
| TI | Synthesis of boron-rich lysine dendrimers as protein labels in electron microscopy | | | | |
| SO | Angewandte Chemie, International Edition in English (1996), 35(8), 909-911 | | | | |
| | CODEN: ACIEAY; ISSN: 0570-0833 | | | | |
| PY | 1996 | | | | |
| AB | Two lysine-rich peptides contg. (S)-5-(2-methyl-1,2-dicarba-closo-dodecaborane(12)-1-yl)-2-aminopentanoic acid were prepd. by solid-phase methodol. as agents suitable for boron neutron capture therapy of cancer. | | | | |
| L30 | ANSWER 17 OF 49 CAPLUS COPYRIGHT 2003 ACS | | | | |
| AU | Callebaut, Christian; Jacotot, Etienne; Guichard, Gilles; Krust, Bernard; Rey-Cuille, Marie-Anne; Cointe, Denis; Benkirane, Nadia; Blanco, Julia; Muller, Sylviane; et al. | | | | |
| TI | Inhibition of HIV infection by pseudopeptides blocking viral envelope glycoprotein-mediated membrane fusion and cell death | | | | |
| SO | Virology (1996), 218(1), 181-92 | | | | |
| | CODEN: VIRLAX; ISSN: 0042-6822 | | | | |
| PY | 1996 | | | | |
| AB | The RP dipeptide motif is highly conserved in the third hypervariable | | | | |

region (V3 loop) of the extracellular envelope glycoprotein of different types of HIV isolates. In view of this, we have designed and synthesized a construction referred to as "template assembled synthetic peptide" (TASP), in which a lysine-rich short polypeptide was used as a template to covalently anchor arrays of tripeptides, such as RPR, RPKL, or KPR. The pentavalent presentation, 5(RPR)-, 5(RPK)-, or 5(KPR)-TASP, mols. manifested max. inhibitory-activity relationship studies using analogs of 5(KPR)-TASP indicated that the pos. charged side chains of the K and R residues in the tripeptide mols. are crit. for the optimal inhibitory activity of the pentavalent construct. Interestingly, replacement of L-amino acid residues by D-amino acids or redn. of the peptide bond between the first two amino acids of the tripeptide generated peptide-TASP analogs active at sub-.mu.M concns. The anti-HIV action of the peptide-TASP constructs is specific, since they inhibit infection of several types of CD4-expressing cells by HIV-1 Lai and HIV-2 EHO but not by the simian SIV-mac isolate. Our results suggests that these inhibitors block three post-CD4-binding functions of the HIV envelope glycoproteins, mediation of viral entry, syncytium formation, and triggering cell death by apoptosis. As the peptide-TASP derivs. with unnatural amino acid sequences in the tripeptide moiety retain full inhibitory activity, they should provide potent protease-resistant peptide inhibitors as potential therapeutic agents for treatment of AIDS patients.

L30 ANSWER 18 OF 49 CAPLUS COPYRIGHT 2003 ACS
 IN McBride, William; Dean, Richard T.
 TI Monoamine, diamide, thiol-containing metal chelating agents
 SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 9533497 | A1 | 19951214 | WO 1995-US6914 | 19950601 <-- |
| W: AU, BR, CA, CN, JP, KR | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2191951 | AA | 19951214 | CA 1995-2191951 | 19950601 <-- |
| AU 9526944 | A1 | 19960104 | AU 1995-26944 | 19950601 <-- |
| AU 707040 | B2 | 19990701 | | |
| BR 9507917 | A | 19970812 | BR 1995-7917 | 19950601 <-- |
| CN 1158090 | A | 19970827 | CN 1995-194356 | 19950601 <-- |
| CN 1093424 | B | 20021030 | | |
| EP 804252 | A2 | 19971105 | EP 1995-922159 | 19950601 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| JP 10501531 | T2 | 19980210 | JP 1995-501181 | 19950601 |
| ZA 9504548 | A | 19960315 | ZA 1995-4548 | 19950602 <-- |
| PATENT NO. | KIND | DATE | | |
| WO 9533497 | A1 | 19951214 | | <-- |
| CA 2191951 | AA | 19951214 | | <-- |
| AU 9526944 | A1 | 19960104 | | <-- |
| AU 707040 | B2 | 19990701 | | |
| BR 9507917 | A | 19970812 | | <-- |
| CN 1158090 | A | 19970827 | | <-- |
| CN 1093424 | B | 20021030 | | |
| EP 804252 | A2 | 19971105 | | <-- |
| JP 10501531 | T2 | 19980210 | | |
| ZA 9504548 | A | 19960315 | | <-- |
| PY 1995 | | | | |
| 1995 | | | | |
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| 1999 | | | | |
| 1997 | | | | |

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AB The invention relates to reagents useful in prepg. radiolabeled diagnostic and therapeutic agents (radiopharmaceuticals). Specifically, the invention provides such reagents that are monoamine, diamide, and thiol-contg. metal chelators. Methods of making such reagents, and methods of using the radiopharmaceuticals produced therefrom are also provided.

L30 ANSWER 19 OF 49 CAPLUS COPYRIGHT 2003 ACS

IN Hovanessian, Ara; Callebaut, Christian; Krust, Bernard; Jacotot, Etienne; Muller, Sylviane; Briand, Jean-paul; Guichard, Gilles

TI Multirepresentation of a peptide analog of the DPPiV (dipeptidyl peptidase IV) substrate, especially of the KPR type, to inhibit the entry of HIV in cells

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 9529190 | A1 | 19951102 | WO 1995-FR528 | 19950421 <-- |
| W: AU, CA, CN, JP, KR, NZ | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| FR 2719049 | A1 | 19951027 | FR 1994-4895 | 19940422 <-- |
| FR 2719049 | B1 | 19960614 | | |
| CA 2188470 | AA | 19951102 | CA 1995-2188470 | 19950421 <-- |
| AU 9524125 | A1 | 19951116 | AU 1995-24125 | 19950421 <-- |
| EP 756603 | A1 | 19970205 | EP 1995-918043 | 19950421 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 10502337 | T2 | 19980303 | JP 1995-527406 | 19950421 |
| PATENT NO. | KIND | DATE | | |

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|----|-------------|----|----------|-----|
| PI | WO 9529190 | A1 | 19951102 | <-- |
| | FR 2719049 | A1 | 19951027 | <-- |
| | FR 2719049 | B1 | 19960614 | |
| | CA 2188470 | AA | 19951102 | <-- |
| | AU 9524125 | A1 | 19951116 | <-- |
| | EP 756603 | A1 | 19970205 | <-- |
| | JP 10502337 | T2 | 19980303 | |

PY 1995
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AB Mols. are disclosed which have a plurality of repeat patterns, esp. of the KPR type, which are recognizable by an ectoprotein (on the cell surface), in particular by the CD26 receptor (also known as the DPPiV enzyme). The peptide patterns are all carried by a peptide matrix enabling their multiple presentation to the enzyme and having an affinity for the latter. The mols. of the invention are the active ingredient of a compn. inhibiting the entry of HIV in cells, in particular for the treatment of a retrovirus-induced infection.

L30 ANSWER 20 OF 49 CAPLUS COPYRIGHT 2003 ACS

AU Zanini, Diana; Park, William K. C.; Roy, Rene

TI Synthesis of novel dendritic glycosides

08/03/01

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SO Tetrahedron Letters (1995), 36(41), 7383-6

CODEN: TELEAY; ISSN: 0040-4039

PY 1995

AB Glycodendrimers were synthesized by coupling various thiolated glycosides, with and without a spacer moiety, to a pre-formed N-chloroacetylated L-lysine dendrimer on solid-phase. The dendritic L-lysine cores were divalent, tetravalent and octavalent, i.e., (XCH₂CO-Gly-Gly)₂-Lys-.beta.-Ala-OR, (XCH₂CO-Gly-Gly)₄-Lys₂-Lys-.beta.-Ala-OR, and (XCH₂CO-Gly-Gly)₈-Lys₄-Lys₂-Lys-.beta.-Ala-OR (R = Wang resin). The dendrimers in double immunodiffusion assays using either wheat germ agglutinin lectin or peanut lectin exhibited pptn. bands. The bands for divalent dendrimers were transient and as valency increased, pptn. lines noticeably became stronger and less diffuse.